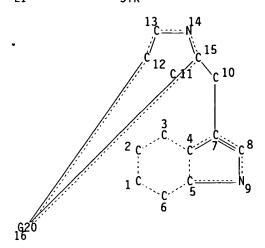
559 ANSWERS



0-2 REP G20=(0-1) 11-12 11-15 NODE ATTRIBUTES: **NSPEC** IS R ΑT 1 **NSPEC** IS R ΑT 2 **NSPEC** IS R ΑT 3 **NSPEC** IS R ΑT **NSPEC** IS R 5 **NSPEC** IS R AT **NSPEC** IS R AT 7 **NSPEC** IS R AT **NSPEC** IS R ΑT NSPEC IS C ΑT 10 **NSPEC** IS R ΑT 11 **NSPEC** IS R 12 ΑT **NSPEC** IS R 13 **NSPEC** IS R ΑT 14 **NSPEC** IS R ΑT 15

GRAPH ATTRIBUTES:

IS R DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

NSPEC

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

559 SEA FILE=REGISTRY SSS FUL L1

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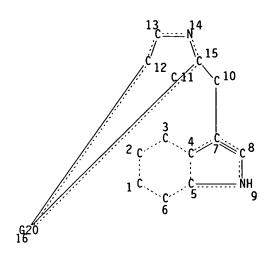
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L3 559 SEA FILE=REGISTRY SSS FUL L1

L5 STR



REP G20=(0-1) 11-12 11-15 NODE ATTRIBUTES: NSPEC IS R ΑT 1 **NSPEC** IS R 2 ΑT **NSPEC** IS R ΑT 3 **NSPEC** IS R 4 ΑT **NSPEC** IS R AT 5 **NSPEC** IS R ΑT 6 **NSPEC** 7 IS R ΑT **NSPEC** IS R AT 8 **NSPEC** IS C AT 10 **NSPEC** IS R AT 11 IS R AT 12 **NSPEC NSPEC** IS R ΑT 13 **NSPEC** IS R AT 14 **NSPEC** IS R AT 15 **NSPEC** IS R AT 16 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L6 536 SEA FILE=REGISTRY SUB=L3 SSS FUL L5

100.0% PROCESSED 559 ITERATIONS

536 ANSWERS

SEARCH TIME: 00.00.05

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FILE COVERS 1967 - 6 Jan 1996 VOL 124 ISS 2 FILE LAST UPDATED: 6 Jan 1996 (960106/ED)

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 $\label{lem:martselect} \textbf{SmartSELECT} \ \ \textbf{searches} \ \ \textbf{with} \ \ \textbf{large} \ \ \textbf{numbers} \ \ \textbf{of} \ \ \textbf{terms}.$

L7 124 L6

=> d 1-20 cbib abs hitrn

08/466,644 Page 5

- L7 AMSWER 1 OF 124 CAPLUS COPYRIGHT 1996 ACS
 1995:987946 Preparation of ((triazoly))indoly)]methylpyrrolidines as
 5-MII-11ke agonists. Matassa, victor Giulio; Sternfeld, Francine;
 Street, Leslie Joseph (Merck Sharp and Dohae Ltd., UK). PCI Int.
 Appl. WO 9521167 Al 950810, 22 pp. DESIGNATED STATES: W: AM, AI,
 AU, 88, 8G, 8R, 8Y, CA, CH, CH, CZ, DE, DK, EE, ES, FI, GB, GE, BU,
 JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LY, ND, NG, NM, NM, NX, NL,
 NO, NZ, PL, PI, RD, ND, SD, SS, 15, KS, TJ, TI, UA, US; SN: AI, 8E,
 BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU,
 NC, NL, NR, RE, NL, PI, SE, SM, TD, TG. (English). CODEN: PIXXID:
 APPLICATION: WO 95-G8135 950124. PRIORITY: GB 94-2011 940202.
 AB 11tle compds. (1: R = H, C1-6 alkyl), were prepd. Thus,
 4*-(1,2,4-triazol-4-yl)phenylhydrazine and (25)-M-tertbutoxycarbonyl-3-(pyrrolidin-2-yl)propanal were stirred in 44 aq.
 R2504 at room temp.-reflux to give 344 i (R = H), isolated as the
 oxalate. I showed pEC50 gtoreq.5.0 in a test of their ability to
 mediate contraction of the saphenous vein of rabbits.

 IT RR LIST MAY NOT BE COMPLETE: 158594-16-6
 171752-92-4

L7 AMSWER 2 OF 124 CAPLUS COPYRIGHT 1996 ACS (Continued)
(prepn. of triazole derivs. as serotoninergic agonists)
17 171182-32-4P

RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of triazole derivs. as serotoninergic agonists)

L7 AMSWER 2 OF 124 CAPLUS COPYRIGHT 1996 ACS
1995:969448 Document No. 124:8823 Preparation of triazole derivatives
as serotoninergic agonists. Natassa, Victor Giulio; Sternfeld,
Francinen; Street, Leslie Joseph (Nerck Sharp and Dobne tod., UK).
PCT Int. Appl. NO 9521166 A1 950810, 49 pp. DESIGNATED STATES: W:
AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB,
GE, RU, DP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, ND, MS, MN, MY,
MX, KI, NO, NZ, PL, PT, RO, RU, SO, SE, SI, SK, TJ, TT, UA, US; RV:
AT, BE, BF, BJ, CF, CG, CH, C1, CM, DE, DK, ES, FR, GA, GB, GR, IE,
IT, LU, MC, NL, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODER:
PIXXD2. APPLICATION: MO 95-G8134 950124. PRIORITY: G8 94-2016
940202.

- Title compds. [I; R = H, hydrocarbyl, heterocyclyl, etc.; Rl = cycloalkyl, alkoxyalkyl, aryl(alkyl), etc.; 1 of Y, Z = M and the other = (un)substituted CH; Zl = bond, alkylen; Zl = 0, Sl, (alkyl)mino; Zl = M, (alkyl-substituted)CH; Zl = alkylene; p = 0 or l; q = 1-4; p = 2-4], agonists of 5-HII-like receptors, were prepd. Thus, $ZRJ \text{Arter-butoxy, carbonylpyrrol time-}2-propanal was cyclocondensed with <math>4-\{1,2,4-\text{triazol-}4-y\}$)phenylhydrazine (prepn. each given) and the product condensed with PhCHD to give title compd. II. I had pECSO of .gtoreq.5.0 for contraction of rabbit sanhenous vein. saphenous vein.
- Saphenous vern.

 1 171182-20-0P 171182-21-1P 171182-22-2P
 171182-23-3P 171182-24-4P 171182-25-5P
 171182-25-6P 171182-27-7P 171182-28-8P
 171182-29-9P 171182-30-2P 171182-31-3P RI: BAC (Biological activity or effector, except adverse); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

- 17 ANSWER 3 OF 124 CAPLUS COPYRIGHT 1996 ACS
 1993:93846 Document Mo. 124:688 The in vivo pharmacological profile
 of a 5-HT1 receptor against, CP-122, 288, a selective inhibitor of
 neurogenic inflammation. Gupta, P.; Brown, D.; Butler, P.; Ellis,
 P.; Grayson, K. L.; Land, G. C.; Macor, J. E.; Robson, S. F.;
 Mythes, M. J.; Shepperson, N. B. (Departments of Discovery Biology
 and Discovery Chemistry, Pfizer Central Research, Sandwich, Kent,
 CT13 9NJ, UK). Br. J. Pharmacol., 116(5), 2385-90 (English) 1995.
 CODEN: BJPCBM. ISSN: 0007-1188.

 AB The aim of the present study was to investigate the in vivo
 pharmacol. profile of CP-122,288, an indole-deriv. with a
 conformationally restricted M-nethylpyrrolidinyl basic side chain in
 the C-3 position. This C-3 substituent structurally differentiates
 CP-122,288 from the S-HID receptor agoinst sumatriptan, which
 possesses an N,M-dimethyl aninoethyl group. When adainistered prior
 to elec. stimulation of the trigeninal ganglion, CP-122,288 (0.3-300)
 ong kg-1, 1.v.) produced a dose-related inhibition of plasma protein,
 extravasation in rat dura mater (min. ED, MED, 3 mg kg-1 l.v., P
 < 0.05; maximal inhibition of plasma extravasation at 30 mg kg-1 l.v.,
 P < 0.01). Sumatriptan produced a statlar inhibition of plasma
 leakage in the dura, but at much higher dose levels (MED, 100 . mu.g
 kg-1 l.v., P < 0.05). Thus, CP-122,288 is of the order of 104 fold
 more potent than smatriptan. At all doses tested, CP-122,286 did
 not inhibit plasma protein extravasation measured in extracrantal
 tissues such as the lower lip, eyelid, and conjunctiva. In a sep,
 series of studies in the anesthetized rat, CP-122,288 (0.003-3 mu.g
 kg-1 l.v.) produced no change in either heart rate or mean arterial
 blood pressure, thus demonstrating that doses of CP-122,288 which
 inhibit plasma protein leakage in rat dura, are devoid of
 hemodymatic effects. Following a 5 min period of elec. stimulation, this
 protocol permitted the evaluation of the activity of CP-122,288 and
 the ongoing and established inflammatory event

Page 6

L7 ARSWER 3 OF 124 CAPLUS COPYRIGHT 1996 ACS (Continued)
(CP-122,288 pharmacol. profile as selective inhibitor of
neurogenic inflammation in relation to migraine treatment)

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ANSWER 4 OF 124 CAPLUS COPYRIGHT 1996 ACS 167302-91-4P 167302-94-5P 167302-95-6P 167302-95-6P 167302-95-6P 167302-95-6P 167302-95-8P 167302-99-167303-00-6P 167303-00-1-7P 167303-05-1P 167303-06-2P 167303-06-2P 167303-05-1P 167303-06-2P 167303-07-3P 167303-05-1P 167303-06-2P 167303-11-9P 167303-11-9P 167303-12-0P 167303-11-9P 167303-12-0P 167303-11-9P 167303-12-0P 167303-12-0P 167303-12-0P 167303-12-0P 167303-12-1P 167303-12-1P 167303-12-1P 167303-12-1P 167303-12-1P 167303-12-1P 167303-12-1P 167303-12-1P 167303-13-1P 167
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L7 AMSWER 4 OF 124 CAPLUS COPYRIGHT 1996 ACS
1995:772570 Document No. 123:169499 Indole derivatives as 5-HT1-like
agonists for use in migraine. Wythes Martin James (Pfizer Ltd.,
UK; Pfizer Inc.; Pfizer Research and Development Company,
N.V./S.A.). PCT Int. Appl. WO 9424127 AI 941027, 124 pp.
DESIGNATED SIATES: W: AU, BR, CA, CN, CZ, FT, NU, JP, KR, ND, NZ,
PL, KU, US; KW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,
NL, PT, SE. (English). CODER: PIXXDZ. APPLICATION: WO 94-EP1121
940411. PRIORITY: GB 93-8360 930422; GB 93-24433 931127.

A8 The title compds., 3-(pyrrolidinylmethyl)indoles and 3-(piperidinylmethyl)indoles I [R) = (2-pyrrolidinyl)methyl, 3-pyrrolidinyl, 4-piperidinyl, [3-piperidinyl)methyl; R2 = alkyl, oxaalkyl, etc.] were disclosed as selective 5-HII-like agonists useful in the treatment of algraine, cluster headache, chronic paroxysmal henicrania and headache assoed, with vascular disorders. A specifically claimed example compd. is 5-(3-hydroxybutyl)-3-[(R)-(1-methyl-2-pyrrolidinyl)methyl)-1-H-indole [II].

II 143322-5-9
R1: RCT {Reactant}
(prepn. of (pyrrolidinyl)indoles 5-HII-like agonists)

RI: RCI {Reactant} (prepn. of (pyrrolidinyi)indoles 5-HTI-like agonists) II 143322-37-0 RI: RCI {Reactant} (prepn. of (pyrrolidinyinethyl)indoles 5-HTI-like agonists) II 143322-46-7P 153435-71-3P 153435-73-5P 153525-35-0P 153525-50-9P 153525-51-0P 167303-50-6P 167303-51-7P 167303-53-1P 167303-46-2P 167303-56-2P 167303-67-5P 167303-71-1P RI: RCI (Reactant); SPM (Synthetic preparation); PREP (Preparation)

167303-71-1P
RL: RCT (Reactant); SPM {Synthetic preparation); PREP {Preparation} (prepn. of (pyrrolidinylmethyl)lindoles 5-HT1-like agonists}
11 167302-44-5P 167302-45-6P 167302-44-7P
167302-74-8P 167302-54-9P 167302-52-5P
167302-53-6P 167302-51-4P 167302-55-8P
167302-56-9P 167302-62-7P 167302-53-8P
167302-65-9P 167302-65-0P 167302-66-1P
167302-71-8P 167302-72-9P 167302-76-3P
167302-71-4P 167302-73-8-5P 167302-76-3P
167302-71-4P 167302-73-8-5P 167302-76-6P
167302-74-1P 167302-73-8-5P 167302-76-6P
167302-74-1P 167302-78-5P 167302-79-6P
167302-79-0P 167302-78-1P 10F 167302-71-8P 167302-80-9P 167302-81-0P 167302-82-1P 167302-83-2P 167302-84-3P 167302-92-3P

L7 AKSMER 5 OF 124 CAPLUS COPYRIGHT 1996 ACS
1995:685346 Document No. 123:313894 Z-Y-ZM compounds as potential
1,3-dipoles. Part 44. Asymmetric 1,3-dipolar cycloaddition reactions
of inines and chiral cyclic dipolarophiles. Cooper, Daniel M.;
Grigg, Ronald; Hargravexs, Sinon; Kennevell, Peter; Redpath, James
(Sch. Chem., Leeds Univ., Leeds, LS2 93T, UK). Tetrahedron, 51(28),
7791-808 (English) 1995. COOEM: TETRAB. ISSN: 0040-4020.
AB Metallo-1,3-dipoles generated in situ from both aryl and aliph.
Inines of .aipha.-amino esters by the action of silver salts and
tertiary amines undergo cycloaddn. at room temp. to give
(menthyl) furo[3,4-c]pyrrolecarboxylates pyrrolopyrrolecarboxyates.
.pi.-interaction between the dipolarophile carbonyl group and the
aryl group in the aryl inines is not required for good induction.
The stronger the base the faster the cycloaddn. with
Z-t-butyl-1,1,3,3-tetramethylguanidine > DBU > MEt3. X-ray crystal
structures of representative cycloadducts established the abs.
configuration of the pyrrolidine stereocenters.

11 J70027-89-1P 170027-95-99
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

(prepn. of)

Page 7

- L7 ANSWER 6 OF 124 CAPLUS COPYRIGHT 1996 ACS
 1995:575044 Document No. 122:309995 Differentiating Penicillium
 species by detection of indole actabolites using a filter paper
 method. Lund, F. (Department of Biotechnology, Technical University
 of Demark, Lyngby, Den.). Lett. Appl. Microbiol., 20(4), 228-31
 [English) 1995. CODER: LAMIET. ISSM: 0266-0254.
 AB The indole secondary metabolites chaetoglobosin C, cyclopiazonic
 acid, isofuntgaclavine A and rugulovasine A and B produced by
 several Penicillium species growing on Capaek yeast autolyzate agar
 were detected directly in the culture using filter paper wetted with
 Ehrlich reagent dissolved in ethanol. The filter paper wetted with
 Ehrlich reagent dissolved in ethanol. The filter paper was placed
 on the mycelial side of an agar plug and the metabolites were
 visualized as a violet zone on the paper within 10 min. It was
 shown that the combined characters of the violet reaction on filter
 paper and the ability to grow on creatine sucrose agar occurred in 5
 out of 16 species of Penicillium examé. A few addni. simple
 morphol, and physiol. criteria were then sufficient for
 identification of P. camemberti, P. commune, P. discolor, P.
 expansum and P. roueforti var. rouqueforti.
 II 50645-76-6, Chaetoglobosin C
 RL: ANT (Analyte); ANST (Analytical study)
 (Differentiating Penicillium species by detection of indole
 metabolites using a filter paper method)

- L7 AMSWER 8 OF 124 CAPLUS COPYRIGHT 1996 ACS
 1995:521096 Document No. 122:310000 High-performance liquid chromatography comparison of supercritical-fluid extraction and solvent extraction of aircrobial fermentation products. Cocks, Simon; Wrigley, Stephen K.; Chicarelli-Robinson, M. Ines; Smith, Roger M. (Xenova Ltd, 240 Bath Road, Slough Berkshire, SLI 4EQ, UK). J. Chromatogr. A, 897(1 * 2), 115-22 (English) 1995. CODEN: JCRAEY.

 AB The use of supercritic fluids for the arts of halo
- JCRAEY.

 The use of supercrit. fluids for the extn. of biol. active compds. from the biomass of atcrobial fermas. has been compared with extn. using the org. solvents methanol and dichloromethane. Compds. representing a range of structural types were selected for investigation. All the exts. obtained were examd, by reversed-phase HPLC. The extractability of metabolites using unmodified and methanol-modified supercrit. -fluid carbon dioxide was examd. In particular detail for six microbial metabolites: chaetoglobosin A, mycolutein, luteoreticulin, 7,8-dihydro-7,8-popxy-1-hydroxy-3-hydroxy-advisors and elaiophylin. The extn. strength of supercrit.-fluid carbon dioxide alone appeared to be lower than that of dichloromethane. All the components of interest that were extractable with dichloromethane and methanol were also extractable with methanol-modified carbon dioxide.
- and methanol were also control dioxide.

 IT 50335-03-0P, Chaetoglobosin A
 RL: PUR (Purification or recovery); PREP (Preparation)
 (HPLC comparison of supercrit.-fluid vs. solvent extn. of microbial fermn. products)

17 ARSWER 7 OF 124 CAPLUS COPYRIGHT 1996 ACS
1995:549880 Document No. 122:306133 Effect of a 5-HII receptor
agonist, CP-122,288, on edema formation induced by stimulation of
the rat saphenous nerve. Kajekar, Radhika; Gupta, Paul; Shepperson,
Micholas B.; Brain, Susan O. (Vascular Biology Research Centre,
king's College, London, SVG SUX, UK). Br. J. Pharmacol., 115(1),
1-2 (English) 1995. CODEN: BJPCBN. ISSN: COOP-1188.

AB Meurogenic edema formation in the rat hind paw skin induced by elec.
stimulation of the saphenous nerve and measured by extravasation of
[IZSI]-albumin, was inhibited by the S-HIIB receptor agonist,
CP-93,129, and the novel tryptamine analog, CP-122,288. Significant
inhibition of up to 65% of control was obsd. with CP-122,288 (2
. times. 10-14 - 2 . times. 10-7 mol kg-1) and CP-93,129 [S. times.
10-7-5 .times. 10-5 mol kg-1], with the min. ED for CP-122,288 being
about 107 fold less than that for GP-93,129; Edema formation
induced by the intradermal administration of exogenous mediators
(substance P and histamine) in rat dorsal skin was not inhibited by
CP-122,288 [2 .times. 10-10 mol kg-1]). These results suggest that
CP-122,288 [2 .times. 10-10 mol kg-1]). These results suggest that
CP-122,288 [3 in a potent inhibitor of neurogenic inflammation in rat
skin and that the effect may be due to a prejunctional inhibition of
neuropeptide release.

II 14321-74-8, CP-122288
RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIO (Biological study); USES (Uses)
(neurogenic edema inhibition by 5-HII receptor agonist CP-122288)

L7 AMSWER 9 OF 124 CAPLUS COPYRIGHT 1996 ACS
1995:517652 Document No. 123:33479 Synthesis of Aristotelia-type
alkaloids. Part XV. Total synthesis of (+)-hobartinol. Dobler,
Markus; Anderson, James C.; Juch, Mathias; Borschberg, Han-Juerg
(Lab. Org. Chear., Eidgenoessischen Tech. Hochschule, Zurich,
CH-8092, Svitz.). Helv. China. Acta, 78(2), 292-300 (English) 1995.
CODEN: KCACAV. ISSN: 0018-019X.

AB Synthetic (+)-makomakine was transformed in six steps into (+)-(17R,18R)-17,18-dihydrohobartine-17,18-diol ((+)-1) with an overall yield of 38k. This compd. was shown to be identical with natural hobartinol, a monoterpene indole alkaloid from Aristotella australasica, originally believed to be the (175)-eplaer. At the same time, the synthesis of (+)-1 delineates the hitherto unknown abs. configuration of this metabolite.

II 163812-32-69
RL: ECT (Paartare), CDW (Synthesis)

RL: RCT (Reactant); SPM (Synthetic preparation); PREP (Preparation) (total synthesis of hobartinol)

Page 8

- 1995:466381 Document No. 122:256183 The pre- and postjunctional activity of CP-122,288, a conformationally restricted analog of sumatriptan. Beattle, David T.; Connor, Helen E. (Pharmacology II, Glavo Research and Development Ltd., Park Nad, Vare Herts, 5612 ODP, UK). Eur. J. Pharmacol., 276(3), 271-6 (English) 1995. CODEM: EJPHAZ. ISSM: 0014-2999.

 AB The present study lavestigated the pre- and postjunctional activity of CP-122,288 (S-methyl-asinosulfonylmethyl-3-(M-methylpyrrolidin-2R-yl-methyl)-1H-indole), an analog of the vascular 5-H11 receptor agonist, sumatriptan. CP-122,288 inhibited neurogenic plasma protein extravasation in rat dura with a potency approx. 40 000-fold greater than sumatriptan (1950 values of 0.3 pmol/kg and 13.9 nmol/kg 1.v. resp.). Nowever, CP-122,288 was only approx. 2-fold more potent than sumatriptan at inhibiting neurogenically mediated contractions of the dog saphenous vein. CP-122,286 contracted the dog saphenous vein and basilar artery with a potency approx. 2-fold greater than that of sumatriptan. Both compds. possessed similar affinities at either human 5-H101-alpha. or 5-H101-beta. receptors. It is concluded that CP-122,286 exhibits a prejunctional selectivity in the meninges to inhibit dural plasma protein extravasation independent of 5-H101.alpha. and 5-H101-beta. receptor activation.

 11 143321-74-8, CP-122228

 RI: 8AC (Biological) activity or effector, except adverse); BIOL (Biological) study) (ore- and postlunctional activity of CP-122-286. a
- - (Biological study)
 (pre- and postjunctional activity of CP-122,288, a conformationally restricted analog of sumatriptan)

L7 ANSWER 12 OF 124 CAPLUS COPYRIGHT 1996 ACS
1995:354225 Document No. 122:133200 5-arylindole derivatives and their
use as serotonin (5-HT)1 agonists. Nacor, John Eugene (Pfizer Inc.,
USA). PCI Int. Appl. NO 9410)71 A1 940511, 72 pp. DESIGNATED
STATES: W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US; RN: AT, BE,
CH, DE, DK, ES, FR, GB, GB, IE, IT, LU, NC, NL, PT, SE. (English).
CODEN: PIXXDZ. APPLICATION: NO 93-US9790 931019. PRIORITY: US
92-970758 921102.

- AB The title compds. I (R1 = mainoalkyl; R2-R5 = H, alkyl, aryl, etc.) were disclosed. I are useful in treating migraine and other disorders; they are useful psychotherapeutics and are potent serotonin (5-H1) agonists and benzodiazepine agonists and antagonists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paroxysmal heaticranta and headache associd, with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. I are also useful as centrally acting antihypertensives and vasodilators. A specifically claimed example compd. is 5-cyano-1-[[3-[1-{2-methoxyethyl}-2-pyrrolidinyl]macthyl]-3-indolyl]-1H-benzimidazole (II).

 II 160907-04-0P 160907-05-1P 160907-06-2P
- 160907-07-32
- 160907-07-3P
 R:: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 [11 143322-01-4P 151272-89-8P 151272-90-1P
 151272-90-90 151273-00-6P 151273-01-7P
 151273-05-1P 151273-06-2P 151273-07-3P
 151273-03-4P 151273-11-9P 158752-53-5P
 160906-47-8P 160906-48-6P 160906-46-7P
 160906-47-8P 160906-81-4P 160906-54-7P
 160906-50-3P 160906-51-4P 160906-52-1P
 160906-83-3P 160906-81-0P 160906-82-1P
 160906-83-3P 160906-84-3P 160906-85-4P 160906-86-5P 160906-87-6P 160906-95-6P 160906-96-7P 160906-97-8P 160907-00-6F
 - 160907-08-4P
 RL: SPW (Synthetic preparation); PREP (Preparation)

ARSWER 11 OF 124 CAPLUS COPYRIGHT 1996 ACS

95:421324 Document No. 122:205025 Suppression by the sumatriptan analog, CP-122,288 of c-fos immunoreactivity in trigominal nucleus caudalis induced by intractisternal capsation. Cutrer, F. Michael; Schoenfeld, David; Limmroth, Volker; Panahian, Nariman; Moskowitz, Michael A. (Harvard Med. Sch., Massachusetts Gen. Mosp., Boston, MA, (2014, USA). Br. J. Pharmacol., 114(5), 987-92 (English) 1995.

CODEN: BJPCBN. ISSN: 0007-1188.

The effects of an 1.v. administered sumatriptan analog were examd. on c-fos-like immunoreactivity (c-fos-Li), a marker of neuronal activation, evoked within trigenial nucleus caudils (TNC) and other brain stem regions 2 h after intractsternal injection of the irritant, capsaticn (o.1 mo, 0.1 mM), in pentobarbitone-anesthetized Hartley guinea pigs. C-fos-Li was assessed in eighteen serial sections (50. mu.m) using a polyclonal antiserum. A veighted av., reflecting total expression within lamina 1, 110 of TNC was obtained from three representative levels (i.e., at -0.225 ma, -2.475 mm and -5.075 mm). Capsaticn caused significant labeling within lamina 1, 110, a region conto. axonal terminatins of small unayelinated C-fibers, as well as within the nucleus of the solitary tract, area postrema and medial reticular nucleus. A similar distribution of pos. cells was reported previously after intractsernal injection of other chem. Irritants such as autologous blood or carrageemin. Pretreatment with a conformationally restricted sumatriptan analog (with some selectivity for 5-HIB and 5-HID receptor subtypes) CP-122,288, reduced the weighted av. by approx. 50-608 (P < 0.05) in lamina 1, 110 at 100 pmol kg-1, 1.v., but did not decrease cell no. within area postrema, nucleus of the solitary tract or medical reticular nucleus. A similar pattern was reported previously following sumatriptam, dihydroergotamine or CP-93,129 administration at the anino-Et side chain of sumatriptan dramatically enhance the suppression of c-fos expression within 1RC, a finding con

extravasation within dura matter. CP-122,288 and related analogs may serve as an important prototype for drug development in migraine and related headaches.

II 143321-74-8, CP-122288

RL: RM.C (Biological) activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (suppression by sumatriptam analog, CP-122,288 of c-fos immunoreactivity in trigeminal nucleus caudalis induced by intracisternal capsalcin)

16907-03-9P
RL: SPM (Synthetic preparation); PREP (Preparation)
(prepa. of, as serotoninergic agonist)
II 151272-08-7
RL: RCI (Reactant)
(reactant for arylindole serotoninergic agonist)
II 143221-69-1 151273-49-3 160907-09-5
RL: RCI (Reactant)
(serotoninergic agonist)

- 1995:300051 Document No. 122:54328 Use of indole derivatives as 5-HT1
 antagonists. Macor, John Eugene (Pfizer Inc., USA). PCI Int. Appl.
 WD 9425023 H3 941110, 22 pp. DESIGNATES IN: AU, BG, BR, CA,
 CN, C2, F1, HU, JP, KR, ND, NZ, PY, RO, RU, SK, ROH AT, BE, BF, BJ,
 CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, LE, IT, LU, NC, NL,
 NR, RE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXED.
 APPLICATION: ND 94-1879 940426. PRIDRITY: US 93-5930 930427.
 AB the present invention relates to pharmaceutical coapns. contp.
 (R)-5-(acthylaminosulfonylaethyl)-3-(4-acthylpyrrolidin-2-ylaethyl)1H-indole or (R)-5-(acthylaminosulfonylaethyl)-3-(pyrrolidin-2-ylaethyl)1H-indole or (R)-5-(acthylaminosulfonylaethyl)-3-(pyrrolidin-2-ylaethyl)1H-indole or (R)-5-(acthylaminosulfonylaethyl)-3-(pyrrolidin-2-ylaethyl)1H-indole or (R)-5-(acthylaminosulfonylaethyl)-3-(pyrrolidin-2-ylaethyl)1H-indole or (R)-5-(acthylaminosulfonylaethyl)-3-(pyrrolidin-2-ylaethyl)1H-indole or (R)-5-(acthylaminosulfonylaethyl)-3-(pyrrolidin-2-ylaethyl)1H-indole or (R)-5-(acthylaminosulfonylaethyl)-3-(pyrrolidin-2-ylaethyl)11 143312-182-89
 R1: RCI (Reactant); SPR (Synthetic preparation); PREP (Preparation)
 (Indole derivs. for treatment of disorders from deficient
 serotonergic neurotransmission)
 11 143312-14-289 143312-11-8-28
- - 3321-74-8P (A3321-78-ZP RL: SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Indole derivs. for treatment of disorders from deficient) serotonergic neurotransmission)

AMSWER 15 OF 124 CAPLUS COPYRIGHT 1996 ACS

8:681120 Document Mo. 121:281120 The synthests of
alpha.-(3-indolylmethyl)proline-containing compounds as CCK
11gands: analogs of PP-134308. Kendrick, David A.; Ryder, Hamish;
Semple, Graeme; Sheppard, Andrew; Szelke, Michael (Res. Cent.,
Southampton Univ., Southampton, SOI 7MP, UK). Pept. 1992, Proc.
Eur. Pept. Symp., ZEnd, Meeting Date 1992, 579-80. Editor(s):
Schneider, Conrad H.; Eberle, Alex M. ESCOM: Leiden, Meth. (English) 1993. CODEN: 60LUAN.

- - RL: SPM (Synthetic preparation); PREP (Preparation)
 (asym. synthesis of .alpha.-{3-indolylmethyl)proline-contg.
 peptides as analogs of PD 134308)

L7 AMSWER 14 OF 124 CAPLUS COPYRIGHT 1996 ACS
1995;191714 DOCUMENT NO. 122:106219 Synthesis of Aristotelia-type
alkaloids. Part XIV. total synthesis of (*)-aristolone. Dobler,
Markus; Borschberg, Hans-Juerg (Lab. Org. Cheu., Eldgenoessischen
Technischen Hochschule, Zurich, CH-8092, Switz.). Tetrahedron:
Asymmetry, 5(10), 2025-32 (English) 1994. CODN: TASYE3. ISSN:
0957-4166. OTHER SOURCES: CASREACT 122:106219.

The first total synthesis of the highly functionalized monoterpenoid indole alkaloid (*)-aristolone (!) is described. This investigation uncovered the hitherto unknown relative and abs. configuration of this rare metabolite which had been isolated before by others in ppn-amis. From Aristotelia australasica. Dehydration of synthetic I led to a readily separable mixt. of the two alkaloids 1;1,2-didehydro-1-oxomakomakine and 1;1,2-didehydro-1-oxomakomakine and 1;1,2-didehydro-1-oxomakomakine and 1;1,2-didehydro-1-oxomakomakine and 1;1,2-didehydro-1-oxomakomakine which had been isolated in 1988 from A. chilensis. IT 159979-26-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (total synthesis of aristolone)

- 17 AKSWER 16 OF 124 CAPLUS COPYRIGHT 1996 ACS
 1994:680497 Document No. 121:280497 Use of 2,5-Dimethylpyrrole as an Amino-Protecting Group in an Efficient Synthesis of 5-Amino-3-[(H-methyl-pyrrolidin-2(R)-y1)methyl]indole. Macor, John E.; Chenard, Bert L.; Post, Ronald J. (Department of Medicinal Chemistry, Pfleer Inc., Groton, CT, 05340, USA). J. Org. Chemistry, Pfleer Inc., Groton, CT, 05340, USA). J. Org. Chemistry, Sylvan, 1966. ACS. ARS. ARS. S-Amino-2-(H-methylpyrrolidin-2R-y-jmethyl)indole was synthesized in an overall of 39% in four steps on a large scale. Crucial to the success of this sequence was the use of a 2,5-dimethylpyrrole as the protecting group was stable to (unreactive toward) ethylmagnesium bromide, a hindered acid chloride (CBZ-proline acid chloride), and lithium aluminum hydride, but eastly removed in high yield using unique conditions (hydroxylamine hydrochloride/riethylamine/propanolylamine-propanolylamin

- 13322-01-47
 RL: SPM (Synthetic preparation); PREP (Preparation)
 (use of dimethylpyrrole as an amino-protecting group in an
 efficient synthesis of amino[(methylpyrrolidinyl)methyl]indole)

L7 AKSWER 17 OF 124 CAPLUS COPYRIGHT 1996 ACS
1994:631280 Document No. 121:231280 Non-decarboxylative 1,3-dipolar
cycloadditions of Indines of alpha.-amino acids as a route to
proline derivatives. Aly, Moustafa f.; Younes, Wansour 1.;
Metvally, Saoud A. M. (Fac. Sci., Assitt Univ., Qena, Egypt).
Tetrahedron, 50(10), 3159-68 (English) 1994. CODE: TETRAB. ISSM:
0040-4020. OTHER SOURCES: CASREACT 121:231280.

AB The 1,3-dipolar cycloaddn. reaction of alanine with salicylaldehyde and M-substituted galetaides i (R = Me, Ph) gave stereospecific cycloadducts ii. The 1,3-dipolar cycloaddn. reaction of .alpha.-aaino acids with anyl aldehydes in the presence of di-Me fusarate gave isomeric cycloadducts iii (Ar = 2-hydroxyphenyl, R) = Me, M, KCKIMME2, CMCREZNBE, CMEPH, indoi-3-ylachtyl; Ar Ph, 2-methoxyphenyl, 2,4-dimethoxyphenyl, Ri = Me) and IV (Ar and Ri = same). The relatively low yield in the case of di-Me fumarate is presumably due to the steric interaction between the dipolarophile and the substituents at both ends of the dipole.

11 ISBI4-7-5-9 ISBE249-37-7P
R: SPM (Synthetic preparation); PREP (Preparation) (prepo. of)

- ANSWER 19 OF 124 CAPLUS COPYRIGHT 1996 ACS measter is or 124 CAPLUS COPTRIGHT 1996 ACS
 553524 Document No. 1211:15524 A novel mycotoxin: the
 chaetoglobosin N from infested maize by Phomopsis leptostromiformis.

 II. Structure elucidation by IH and 136 MRR. Convert, 0.; Jellal,
 A; Correla, I; Dandolze, F.; Menguy, L.; Cherton, J. C. (Lab.
 Chia. Organ. Struct., Univ. Pierre et Marie Curle, Paris, 75005,
 Fr.). Analusis, 22(4), 217-21 (English) 1994. CODEN: ANLSCY.
 ISSN: 0365-4877.
- isan: uso-ady).
 From culture on maize of the strain MRC 2654 of P.
 leptostromiformis, two fungal metabolites, unusual to this fungus, have been isolated in the methanolic ext. IH and 13C MMR spectra allowed the establishment for these mols. some partial structures contg. an indole unit and several condensed cycles. On the basis of these MRR results, the compd. F = 185.degree. Is identified to the term M of the chaetoglobosin series and the more polar compd., F = 205.degree., named chaetoglobosin M, appears to be a new term in cost-degrees, manual chaetoglobosin M, appears to be a new term in this series.

 II 119212-28-1, Chaetoglobosin M
 Rt. BlO. (Biological study)

 (from Phomopsis leptostromiformis-infected corm)

 II 166900-59-5, Chaetoglobosin M
 Rt. BlO. (Biological study)

 (from Phomopsis leptostromiformis-infected corm, structure of)

08/466,644 Page 10

17 AMSWER 18 OF 124 CAPLUS COPYRIGHT 1996 ACS
1999:574790 Document No. 121:174790 Antifungal substances produced by Chaetomium globosum. Ameniya, Yoshimiki; Kondo, Akihiro; Hirano, Kazuya; Hirukawa, Toshihumi; Kato, Tadahiro (Fac. Nortic., Chiba Univ., Matsudo, 271, Japan). Chiba Omigaka Enegisyakub Gakujutsu Hokoku, 48, 13-18 (Japanese) 1994. CDDEM: CDEGAF. ISSN: 0009-3227.

AB Antifungal substances were extd. from culture filtrate of the most antagonistic isolate identified as chaetomium globosum. Two active substances were obtained by using silica gel column chromatog. and high performance liq. chromatog. By analyzing with mass spectrometer (EIMS, NR-MS), IH-MRN and 13C-MRN, the major substance was identified as Chaetoglobosin A, one of the toxic metabolites produced by C. globosum and C. chochilodes. Another substance was assumed to have similar structure with Chaetoglobosin A. The major substance completely inhibited the spore germination of V. dahliae at 32 .au.g/dm. It was also active against V. albo-atrum and Rhizoctonia solani, but not against Fusarium oxysporum, F. solani and Pythium aphanidermatum.

11 S0135-03-0, Chaetoglobosin A
RI: BAC (Biological activity or effector, except adverse); 8101.
(Biological study) (from Chaetomium globosum, antifungal activity of, against Verticillium and Rhizoctonia)

ANSWER 20 OF 124 CAPLUS COPYRIGHT 1996 ACS

L7 ANSWER 20 OF 124 CAPLUS COPYRIGHT 1996 ACS
1994:501581 Document Mo. 121:101581 Unexpected production of chaetoglobosins from maize incubated by Phomopsis leptostromiformis.

I isolation and optimization of the production in liquid media by LC monitoring. Cherton, J. C.; Jellal, A.; Lhommet, G.; Louteller, C.; Dardolze, F.; Lacoste, L.; Subileau, C. (Dep. Chim., Univ. Versailles Saint-Quentin Yvelines, Versailles, 78001, Fr.).

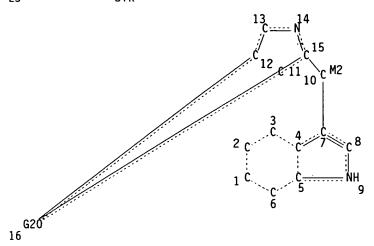
Analusis, 22(4), 210-16 (English) 1994. CODER: AMLSCY. ISSN: 0365-4877.

AB Attempts to obtain the toxin phomopsin A, usually isolated from P. leptostromiformis fungus, failed when infesting maize with strain MRC 2654 of this fungus. However, taking into account the acute toxicity for rats of the crude methanol ext., mycotoxins less polar than phomopsins were searched for by checking other sepn. procedures. Preparative silica ILC entailed the localization of the toxicity in the fraction sol. In iso-Pre ther. Preparative HPLC on silica allowed the purifn. of 2 toxins shown to belong to the chaetoglobosin series. A LC method for direct monitoring of the prodn. of these toxins in liq. media resulted in a first optimization of the culture conditions. It appeared that the yields of these toxins can be increased approx. 4-fold by reducing the culture of P. leptostromiformis in darkness from 28 to 10 days.

I1 156980-59-5, Chaetoglobosin M
RL: FORM (Formation, nonpreparative)
(formation of, by Phomopsis leptostromiformis, prodn. optimization and sepn. of, in liq. media by liq. chromatog. monitoring in relation to)

II 11912-23-1, Chaetoglobosin M
RL: BIOL (Blological study)
(prodn. optimization and sepn. of, in liq. media by liq. chromatog. monitoring)

=> d que 14 stat STR



REP G20=(0-2) 11-12 11-15

NODE ATTRIBUTES.

NODE VILKIRALEZ:				
HCOUNT	IS	M2	ΑT	10
NSPEC	IS	R	ΑT	1
NSPEC	IS	R	ΑT	2
NSPEC	IS	R	ΑT	3
NSPEC	IS	R	ΑT	4
NSPEC	IS	R	ΑT	5
NSPEC	IS	R	ΑT	6
NSPEC	IS	R	ΑT	7
NSPEC	IS	R	ΑT	8
NSPEC	IS	С	ΑT	10
NSPEC	IS	R	ΑT	11
NSPEC	IS	R	ΑT	12
NSPEC	IS	R	ΑT	13
NSPEC	IS	R	ΑT	14
NSPEC	IS	R	ΑT	15
NSPEC	IS	R	ΑT	16
DEFAULT MLEVEL IS ATOM				
DEFAULT ECLEVEL IS LIMITED				

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

756 SEA FILE=REGISTRY SSS FUL L3

100.0% PROCESSED 13566 ITERATIONS

SEARCH TIME: 00.00.42

756 ANSWERS

Absolute stereochemistry.

CM Z

CRN 7664-93-9 CMF H2 04 S

HO-S-0

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

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L4 AKSWER 10 OF 756 REGISTRY COPYRIGHT 1996 ACS
RN 171182-27-7 REGISTRY
CK | H-Indole, 3-[[1-(z-phenylethyl)-z-pyrcolldinyl]methyl]-5-(4H-1,Z,4-trlazol-4-yl)-, (R)-, ethanedioate (2:5) (9CI) (CA INDEX MAME)
FS SIEREOSEARCH
FC C23 HZ5 MS . 5/2 CZ HZ O4
SR CA
LC SIN Files: CA, CAPLUS, CAPREVIEWS
CM 1
CRN 171182-26-6
CMF C23 HZ5 MS
CDES 1:R
```

Absolute stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

1 REFERENCES IN FILE CAPREVIEWS 1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:8823

L4 ANSWER S OF 756 REGISTRY COPYRIGHT 1996 ACS
RM 171182-32-4 REGISTRY
CM 1H-Indole, 3-(2-pyrrolldinylmethyl)-5-(4H-1,2,4-triazol-4-yl)-, (R)(SCI) (CA INDEX MAME)
F5 SIEREOSEARCH
F6 C15 H77 M5
SR CA
LC STM Files: CA, CAPLUS, CAPREVIEWS
CES 1:R
Absolute stereochemistry.

1 REFERENCES IN FILE CAPREVIEWS 1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:8823

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L4 AMSWER 14 OF 756 REGISTRY COPYRIGHT 1996 ACS
RM 171182-23-3 REGISTRY
CM Acetamide, M-[4-[[2-[[5-(4H-1,2,4-triazol-4-y1)-1H-indol-3-y1]nethy]-1-pyrrolidiny]]methyl]phenyl]-, (R)-, ethanedioate [1:1]
(9CI) (CA INDEX NAME)
S SITEROSEARCH
MF C24 H26 M6 0 . C2 H2 O4
SR CA
LC STM files: CA, CAPLUS, CAPREVIEWS
CH 1
CRM 171182-22-2
CMF C24 H26 M6 0
CDES 1:R
Absolute stereochemistry.
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CH 2

CRN 144-62-7 CMF C2 H2 O4

0 0 || || || HO-2-2-0H

> 1 REFERENCES IN FILE CAPREVIEWS 1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:8823

ARSWER 18 OF 756 REGISTRY COPYRIGHT 1996 ACS
170027-95-9 REGISTRY
Pyrrol6[3,4-c]pyrole-1-carboxyllc acid, 5-acetyloctahydro-1-(1H-indol-3-ylaethyl)-6-(1-acthylethoxy)-3-(2-naphthalenyl)-4-oxo-, aethyl ester, [15-(1.a]pha.,3.a]pha.,3a.beta.,6.beta.,6a.beta.]]SITROSEARCH
C32 H33 H3 OS
CA
STM Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:313894

L4 AMSWER 44 OF 756 REGISIRY COPYRIGHT 1996 ACS
RM 16/303-39-1 REGISIRY
CM 4-Penten-2-ol, 2-aethyl-5-[3-[(1-methyl-2-pyrrolidinyl)methyl]-1Hindol-5-y]-, (R)- (9C1) (CA INDEX MAME)
F3 STERCOSEARCH
F C20 V28 R2 0
SR CA
CC SIN Files: CA, CAPLUS
DES 1:R

Absolute stereochemistry.
Double bond geometry unknown.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169499

08/466,644

Page 3

L4 AMSWER 28 OF 756 REGISIRY COPYRIGHT 1996 ACS
RM 167303-56-2 REGISIRY
CN 1-Pyrrollidinecarboxylic acid, 2-[[5-[2-(1-hydroxycyclopentyl]ethyl]1H-indool-3-yl]methyl]-, phenylmethyl ester, (R)- (9C1) (CA INDEX
MAME)
FS SIERCOSEARCH
NF C28 M34 NR 03
SR CA
CC SIM Files: CA, CAPLUS
DES 1:R

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169499

AMSYER 48 OF 756 REGISTRY COPYRIGHT 1996 ACS
167303-35-7 REGISTRY
4-Penten-2-01, 5-[3-[(1-methyl-2-pyrrolidinyl)methyl]-1H-1ndol-5-yl](9C1) (CA IMDEX MAME)
30 CONCORD
C19 H26 H2 0

FS 3D CONCORD
MF C19 H26 N2 0
SR CA
LC STN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169499

L4 ARSWER 54 OF 756 REGISTRY COPYRIGHT 1996 ACS
RM 16/303-29-9 REGISTRY
CH 1-Penten-3-ol, 3-ethyl-1-[3-[(1-methyl-2-pyrrolldinyl)methyl]-]Hindol-5-yl]-, (R)- (9CI) (CA INDEX NAME)
FS STERCOSEARCH
F C21 M30 NZ 0
SR CA
CC STN Files: CA, CAPLUS
DES 1:R

Absolute stereochemistry.

Double bond geometry unknown.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169499

L4 RN CN

AMSWER 73 OF 756 REGISTRY COPYRIGHT 1996 ACS
167303-09-5 REGISTRY
Acctamide, N-[2-{2-{[5-{2-{1-hydroxycyclopentyl}}-thyl]-]H-indol-3yl]aethyl]--opyrolidinyl]ethyl]-, {R}- (9CI) (CA INDEX NAME)
SIEREOSEARCH
C24 H35 N3 02
CA
SIM Files: CA, CAPLUS

yl]m FS STER MF C24 SR CA LC STM DES 1:R

Absolute stereochemistry

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169499

08/466,644

Page 4

AMSWER 68 OF 756 REGISTRY COPYRIGHT 1996 ACS
167303-14-2 REGISTRY
1H-Indole-5-propanol, .alpha.-cyclopentyl-3-{Z-pyrrolidinylmethyl}(9C1) (CA INDEX MAME)
30 CONCORD
C21 H30 NZ 0
CA
SIN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169499

L4 AMSMER 89 OF 756 REGISTRY COPYRIGHT 1996 ACS
RM 16/302-93-4 REGISTRY
CN 1-Pyrrolldinepropananide, 2-[[5-(3-hydroxy-3-methylbuty1)-1H-1ndol-3-y1]methyl]-M._alpha.-dimethyl-, [R-(R*,R*)]- (9CI) (CA INDEX MAME)
FS STERCOSEARCH
MF C23 H35 M3 O2
SR CA
LC STM Files: CA, CAPLUS
DES 1:R2:R*,R*

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169499

L4 ARSVER 101 OF 756 REGISTRY COPYRIGHT 1996 ACS
RM 16/302-74-1 REGISTRY
CM 1-Pyrrolidineacetamide, 2-[[5-[2-(1-hydroxycyclopenty1]ethy1]-]Hind01-3-y1]methy1]-M-methy1-, (R)- (9C1) (CA INDEX MAME)
FS STERCOSEARCH
F C23 M33 M3 O2
SR CA
CA
CC SIN Files: CA, CAPLUS
DES 1:R

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169499

AMSWER 330 OF 756 REGISIRY COPYRIGHT 1996 ACS 152305-25-4 REGISIRY 1H-Indole-5-propanol, beta.-amino-3-[(1-methyl-z-pyrrolid1nyl)]achyl]-, [R-{R*,R*}]- (9CI) (CA INDEX MAME) SIEREOSEARCH

FS

FS STEREUSEARCH
MF C17 H25 H3 0
SR CA
LC STM F11es: CA, CAPLUS
DES 1:R2:R*,R*

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 120:106761

L4 AMSWER 254 OF 756 REGISTRY COPYRIGHT 1996 ACS
RM 153435-54-2 REGISTRY
CN 1-Pyrrolidinecarboxylic acid, 2-[[5-[3-[(dimethylamino)carbonyl]phen
yl]-1H-indoi-3-yl]methyl]-, phenylmethyl ester, (R)- (9CI) (CA
INDEX MAME)
FS STEREOSEARCH
NF C30 N31 N3 03
SR CA
CC STM Files: CA, CAPLUS
DES 1:R

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 120:217271

AMSWER 458 OF 756 REGISTRY COPYRIGHT 1996 ACS
143322-03-6 REGISTRY
Methanesulfonanide, N-[3-[(1-methyl-2-pyrrolidinyl)methyl]-1H-Indol5-yl]-, (R)- (901) (CA IMDEX NAME)
STEREOSEARCH
C15 N21 N3 02 S
CA
SIN Files: CA, CAPLUS

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE) 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:171215

L4 AMSWER 529 OF 756 REGISTRY COPYRIGHT 1996 ACS
RM 111141-39-0 REGISTRY
CN Indole, 3-[(1-methyl-2-piperidyl)methyl]-, hydrochloride (6C1) (CA
IMDEX MAME)
MF C15 H20 NZ . C1 H
SR CADLO
LC SIN Files: BEILSTEIN*, CAOLD
[*File contains numerically searchable property data)
CRN [01083Z-07-9]

● RC1

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

AMSWER 600 OF 756 REGISTRY COPYRIGHT 1996 ACS
70265-28-0 REGISTRY
6,7-1Sequinolinediol, 1,2,3,4-tetrahydro-1-[{5-hydroxy-1H-indol-3-y1)aethyl]- (9CI) (CA INDEX MAME)
30 COMCORD
C18 H18 N2 03

08/466,644

L4 AMSWER 578 OF 756 REGISIRY COPYRIGHT 1996 ACS
RM 80375-19-5 REGISIRY
CN [13]Cytochalasa-6(12),13,17,21-tetraene-1,20,23-trione,
19-6actyloxy)-7-4ydroxy-10-(1H-indo)-3-yi)-16,18-dimethyl-,
(75,38,165,176,19R,21E)- (9CI) (CA INDEX MAME)
CHER CA INDEX MAMES:
CN 1H-Cyclotridec(d)Isoindole, [13]cytochalasa-6(12),13,17,21-tetraene1,20,23-trione deriv.
CN 19-0-Accetylchaetoglobosin D
CN Chaetoglobosin D 19-acetate
FS SIERCOSEARCH
MF C34 H38 M2 06
LC SIM Files: CA, CAPLUS, MAPPALERI
DES 4:75,13E,165,17E,19R,21E.(13)CYTOCHALASAM

Page 6

Absolute stereochemistry. Oouble bond geometry as described by E or Z.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 96:31294

AMSWER 618 0F 756 REGISTRY COPYRIGHT 1996 ACS
61326-52-1 REGISTRY
1H-PyrIdo[3,4-b]Indol-6-ol, 2,3,4,9-tetrahydro-1-(1H-indol-3ylaethyl)-(9CI) (CA INDEX MAME)
30 CONCORD
COM
STM Files: BEILSTEIM*, CA, CAPLUS, IFICOB, IFIPAT, IFIUDB,
USPATFUL
(*File contains numerically searchable property data)

2 REFERENCES IN FILE CA (1967 TO DATE) 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 90:38902 REFERENCE 2: 86:29789

L4 ARSWER 723 OF 756 REGISTRY COPYRIGHT 1996 ACS
RM 16957-07-8 REGISTRY
CR Isoquinoline, 1,2,3,4-tetrahydro-3-{indol-3-ylmethyl}-6-methoxy-2-methyl-{CC1} (CA INDEX KAME)
FS 3D CONCORD
MF C20 NG2 NG 0
CS 51M Files: BEILSIEIM*, CA, CAPLUS
{*File contains numerically searchable property data}

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 71:124750 REFERENCE 2: 69:67588

L4 AMSWER 756 OF 756 REGISTRY COPYRIGHT 1996 ACS
RM 5275-03-6 REGISTRY
CM Pyridinium, 2-(1H-indol-3-ylmethyl)-1-methyl-, iodide (9CI) (CA
INDEX MAME)
OTHER CA INDEX MAMES:
CM 2-(Indol-3-ylmethyl)-1-methylpyridinium iodide (6CI, 7CI)
CM Pyridinium, 2-(Indol-3-ylmethyl)-1-methyl-, iodide (8CI)
MF C15 H15 N2 . I
LC STM Files: BEILSTEIM*, CAOLD, TOXLIT
("File contains numerically searchable property data)
CRM (17795-28-7)

2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L4 ARSWER 755 OF 756 REGISTRY COPYRIGHT 1996 ACS
RM 5275-05-8 REGISTRY
CN 1H-Indole, 3-{2-piperidinylmethyl}- (9C1) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Indole, 3-{2-piperidylmethyl}- (6C1, 7C1, 8C1)
OTHER NAMES: OTHER MAMES:

CM 3-(2-Piperidylmethyl)indole
FS 3D CONCORD

NF C14 H18 N2
C1 COM

C5 TM Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CJACS, RTECS*

{*File contains numerically searchable property data}

Page 7

5 REFERENCES IN FILE CA (1967 TO DATE) 5 REFERENCES IN FILE CAPLUS (1967 TO DATE) 5 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 317:171215 REFERENCE 2: 117:26178 REFERENCE 4: 91:140663 REFERENCE 5: 77:126393

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FILE COVERS 1957-1966 FILE LAST UPDATED: 30 OCT 91 (910803/ED)

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=> s 14

L5 20 L4

=> d 1-20

L5 ANSMER 1 OF 20 COPYRIGHT 1996 ACS AN CA65:18540c 1T 10438-16-1 10438-17-2 10438-19-4 20165-95-1

LS ARSWER 2 OF 20 COPYRIGHT 1996 ACS AN CA65:16973a DI P 17 7670-46-4 7695-23-0 17971-17-4 99813-09-9

L5 ANSWER 3 OF 20 COPYRIGHT 1996 ACS AN CA65:13714a DI P IT 7546-61-4 7546-63-6 16060-17-6

L5 AMSWER 4 OF 20 COPYRIGHT 1996 ACS AM CA65:13713h DT P IT 7546-58-9 7546-59-0 7551-14-6 101811-43-2

Page 10

LS ANSWER 5 OF 20 COPYRIGHT 1996 ACS AN CA65:13713f DT P II 7551-08-8 14128-30-4 LS AMSWER 6 OF 20 COPYRIGHT 1996 ACS AM CA65:13713e DT P IT 7546-60-3 7551-09-9

L5 AMSWER 7 OF 20 COPYRIGHT 1996 ACS AM CA64:17539b 11 5697-98-3 5697-99-4 LS ANSWER 8 0F 20 COPYRIGHT 1996 ACS

AN CA64:141619

1T 3515-49-9 S275-03-6 5275-04-7 5275-05-8 5275-06-9
5275-42-3 5275-43-4 5333-44-5 5353-45-7 107628-26-2
5988-98-9 30701-36-1 90325-65-8 90325-67-0 107628-26-2

Page 11

LS AMSWER 9 OF 20 COPYRIGHT 1996 ACS AM CG61:132784 11 455-64-0 55818-08-1 56966-37-1 93726-90-0 94759-97-4 94801-80-6 95133-76-9 96977-34-7 97115-04-3

LS AMSWER 10 OF 20 COPYRIGHT 1996 ACS AM CA57:1657a DT P IT 5275-05-8 58383-32-7

L5 ANSWER 11 0F 20 COPYRIGHT 1996 ACS
AM CAS5:11442f
DI P
117 5275-05-8 92647-88-6 100168-19-2 102461-04-1

L5 ANSWER 12 OF 20 COPYRIGHT 1996 ACS AM CAS3:13146f 1T 110421-90-4

08/466,644 Page 12

L5 ANSWER 13 OF 20 COPYRIGHT 1996 ACS AN CAS3:13146d IT 103268-60-6 132887-26-4 LS AMSWER 14 OF 20 COPYRIGHT 1996 ACS AM CAS3-6226F II 315-49- 5275-05-8 21182-09-2 57637-79-3 110179-40-3 110179-78-7

L5 AMSWER 15 OF 20 COPYRIGHT 1996 ACS AM CA53:3146e II 102173-76-Z L5 ANSWER 16 OF 20 COPYRIGHT 1996 ACS AN CA52:5406f IT 111141-39-0 08/466,644 Page 13

LS ARSWER 17 0F 20 COPYRIGHT 1996 ACS AM CAS2:54056 11 5275-05-8 92292-23-4 110179-40-3 125614-62-2

LS AMSWER 18 OF 20 COPYRIGHT 1996 ACS AM CA51:6702h DT P 11 5275-03-6 5580-44-9

LS ANSMER 19 OF 20 COPYRIGHT 1996 ACS AM CAS1:6702g OT P IT 100880-55-5

L5 ANSWER 20 OF 20 COPYRIGHT 1996 ACS AN CA51:6702f DT P IT 102025-60-5 111529-88-5

08/466,644 Page 14

=> fil caplus

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FILE COVERS 1967 - 7 Jan 1996 VOL 124 ISS 2 FILE LAST UPDATED: 6 Jan 1996 (960106/ED)

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=> s 14

L6 180 L4

=> d 1-40 cbib abs hitrn

08/466,644 Page 15

L6 ARSWER 1 OF 180 CAPLUS COPYRIGHT 1996 ACS
1995:887945 Preparation of [[triazo]y]lindo]y]methylpyrrolidines as
5-8H1-like agonists. Matassa, Victor Giulio; Sternfeld, Francine;
Street, Leslie Joseph (Merck Sharp and Dobze Ltd., UK). PCI Int.
Appl. NO 9921167 Al 950810, 22 pp. DCSIGNATED STATES: W: AM, AT,
AV, BB, BG, BR, BY, CA, CH, CH, CZ, DE, DK, EE, ES, FI, GB, GE, HU,
JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LY, ND, NG, NM, NM, NX, NL,
NO, NZ, PL, PI, RO, RU, SD, SS, IS, KS, TJ, TT, LM, LYS KS: AT, BE,
BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GG, GR, IE, IT, LU,
NC, NL, NR, KE, NL, PI, SE, SM, TO, TG. [English]. CODEN: PIXXO2.
APPLICATION: NO 95-GBISS 950124. PRIORITY: GB 94-2011 940202.

AB Title compds. (1: R = N, C1-6 alkyl), were prepd. Thus,
4'-[1,2,4-triazo]-4-y]phenylhydrazine and (25)-M-tertbutoxycarbonyl-3-(pyrolidin-2-y)]propanal were stirred in 4% aq.
12504 at rooms temp.-reflux to give 34% I (R = N), isolated as the
oxalate. I showed pECSO. gtoreq. 5.0 in a test of their ability to
mediate contraction of the saphenous vein of rabbits.

17 RN LIST MAY NOT BE COMPLETE: 154594-16-8
171550-18-4
171550-18-5
171550-16-6

L6 ANSWER Z OF 180 CAPLUS COPYRIGHT 1996 ACS (Continued) (prepn. of triazole derivs. as serotoninergic agonists)

IT J1182-32-4P

RL: RCI (Reactant); SPW (Synthetic preparation); PREP (Preparation)
(prepn. of triazole derivs. as serotoninergic agonists)

L6 AMSWER 2 OF 180 CAPLUS COPYRIGHT 1996 ACS
1995:969448 Document No. 124:8823 Preparation of triazole derivatives
as serotoninergic agonists. Natassa, Victor Giulio; Sternfeld,
Francine; Street, Leslie Joseph (Merck Sharp and Dohme Ltd., UK).
PCT Int. Appl. NO 9521166 Al 950810, 49 pp. DESIGNATED STATES: W:
AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FT, GB,
GE, RU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, NO, MG, MN, MV,
MX, NL, NO, NZ, PL, PT, RO, RU, SO, SE, SI, SK, TJ, TT, UA, US; RM:
AT, BE, BF, BJ, CF, GC, CH, CT, CN, DE, DK, ES, FR, GA, GB, GR, IE,
IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN:
PIXXQ2. APPLICATION: NO 95-G8134 950124. PRIORITY: GB 94-2016
940202. 940202.

Title compds. [I; R = H, hydrocarbyl, heterocyclyl, etc.; RI = cycloalkyl, alkoxyalkyl, aryl(alkyl), etc.; 1 of Y.Z = H and the other = (un)substituted CH; ZI = bond, alkylene; Z2 = 0, S, {alkyl}imino; Z3 = N, {alkyl-substituted}CH; Z4 = alkylene; p = 0 or 1; q = 1-4; prq = 2-41, agonists of 5-HII-like receptors, were prepd. Thus, {ZP,-N-tert-butoxycarbonylpyrrolidine-Z-propanal was cyclocondensed with $4-\{1.2.4-triazol-4-yl)$ phenylhydrazine (prepn. each given) and the product condensed with PhChb to give title compd. II. I had pECSO of .gtoreq.5.0 for contraction of rabbit saphenous vein.

coupd. II. I had pECSO of .gtoreq.5. saphenous vein. IT 171182-20-0P 171182-21-1P 171182-22-2P 171182-23-3P 171182-24-4P 171182-25-5P 171182-26-6P 171182-27-7P 171182-28-8P 171182-29-9P 171182-30-2P 171182-31-3P RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

ANSWER 3 OF 180 CAPLUS COPYRIGHT 1996 ACS

16 ANSWER 3 OF 180 CAPLUS COPYRIGHT 1996 ACS
1995:933846 Document Mo. 124:688 The in vivo pharmacological profile
of a S-HIJ receptor agonist, CP-122,288, a selective inhibitor of
neurogenic inflammation. Gupta, P.; Brown, D.; Butler, P.; Ellis,
P.; Grayson, K. L.; Land, G. C.; Macor, J. E.; Robson, S. F.;
Wythes, M. J.; Shepperson, M. B. (Departments of Discovery Biology
and Discovery Chemistry, Prizer Central Research, Sandwich, Kent,
CT13 9MJ, UK). Br. J. Pharmacol., 116(5), 2385-90 (English) 1995.
CODEN: BAPCBM. ISSN: 0007-1188.

AB The aim of the present study was to investigate the in vivo
pharmacol. profile of CP-122,288, an indole-deriv. with a
conformationally restricted M-methylpyrrolidinyl basic side chain in
the C-3 position. This C-3 substituent structurally differentiates
CP-122,288 from the S-HIID receptor agonist sumatriptam, which
possesses an M,A-dimethyl annouchyl group. When administered prior
to elec. stimulation of the trigeminal ganglion, CP-122,288 (0.3-300
nk kg-1, 1.v.) produced a dose-related inhibition of plasma protein,
extravasation in rat dura mater (min. ED, MED, 3 ng kg-1 1.v., P <
- 0.05; maximal inhibition of plasma extravasation at 30 ng kg-1 1.v.,
P < 0.01). Sumatriptam produced a similar inhibition of plasma
leakage in the dura, but at much higher dose levels (MED, 100 .au.g
kg-1 1.v., P < 0.05). Thus, CP-122,288 is of the order of 104 fold
more potent than sumatriptam. At all doses tested, CP-122,288 did
not inhibit plasma protein extravasation measured in extraoranial
tissues such as the lower 1p, eyelid, and conjunctiva. In a sep.
series of studies in the anesthetized rat, CP-122,288 (0.003-3 mu. g
kg-1 1.v.) produced mo change in rat dura, are devoid of
hemodynamic effects. Following a 5 min period of elec. stimulation
of the trigeminal agnilion, a 20 min period of sustained
neurogenically-driven plasma extravasation, occurring in the absence
of elec. stimulation, was initiated. By administration of the
complis and established infilammatory event C-122,288 un
hambition

ABSEL-4-B, UP-IZCZOO

RE: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

Page 16

L6 AMSWER 3 OF 180 CAPLUS COPYRIGHT 1996 ACS (Continued)
(CP-122,288 pharmacol. profile as selective inhibitor of
neurogenic inflammation in relation to migraine treatment)

```
ANSWER 4 OF 180 CAPLUS COPYRIGHT 1996 ACS (Continued)
167303-02-8P 167303-03-9P 167303-04-0P
167303-05-1P 167303-06-2P 167303-07-3P
167303-08-4P 167303-06-2P 167303-10-8P
167303-11-9P 167303-12-0P 167303-13-1P
167303-14-2P 167303-15-3P 167303-16-4P
167303-14-2P 167303-15-3P 167303-16-4P
167303-14-2P 167303-15-3P 167303-21-3P
167303-12-6P 167303-21-1P 167303-23-3P
167303-20-0P 167303-21-1P 167303-23-3P
167303-27-7P 167303-28-8P 167303-29-9P
167303-32-8P 167303-31-3P 167303-32-4P
167303-34-8P 167303-31-3P 167303-31-7P
167303-34-8P 167303-34-0P 167303-31-5P
167303-34-9P 167303-40-157303-44-8P
167303-42-6P 167303-49-3P 167303-43-5P
167303-43-3P 167303-43-3P
167303-43-3P 167303-49-3P 167303-33-3P
167303-57-3P
RE: SPM (Synthetic preparation); THU (Iherapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (pyrrolidiny)methyl) indoles 5-HTI-11ke agonists)
```

L6 AMSWER 4 OF 180 CAPLUS COPYRIGHT 1996 ACS
1995:772570 Document No. 123:169499 Indole derivatives as 5-H11-like
agonists for use in aigraine. Bythes, Martin James (Pfizer Ltd.,
UK; Pfizer Inc.; Pfizer Research and Development Company,
R.V./S.A.), PCI Int. Appl. NO 9424127 A1 941027, 124 pp.
DESIGNATED STATES: W: AU, BR, CA, CR, CZ, FI, HU, JP, KR, NO, NZ,
PL, RU, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,
RL, PT, SE. (English). CODER: PIXXOZ. APPLICATION: NO 94-EP1121
940411. PRIORITY: GB 93-8350 930422; GB 93-24433 931127.

AB The title compds., 3-(pyrrolidinylmethyl)indoles and 3-(piperidinylmethyl)indoles I [R] = [2-pyrrolidinyl]methyl, 3-pyrrolidinyl, 4-piperidinyl, (3-piperidinyl)methyl; R2 = alkyl, oxaalkyl, etc.] were disclosed as selective 5-HII-like agonists useful in the treatment of algraine, cluster headache, chronic paroxysmal hemicrania and headache assocd, with vascular disorders. A specifically claimed example compd. 1s 5-(3-hydroxybutyl)-3-[(R)-(1-methyl-2-pyrrolidinyl)methyl]-1-H-indole [II].

II 143322-57 R2: R2: (Reactant) [ngron. of (pyrrolidinylmethyl)indoles 5-HII-like agonists)

KE: KEI (Reactant) (prepn. of (pyrrolidinylmethyl)indoles 5-HII-like agonists) II 143122-46-7P 153435-71-3P 153435-73-5P 153525-15-00 153525-50-9P 153525-15-0P 167303-50-6P 167303-51-7P 167303-54-0P 167303-51-1P 167303-66-2P 167303-61-1P 167303-64-2P 167303-66-4P 167303-67-5P 167303-44-2P 167303-66-4P 167303-67-5P

167303-78-7-1
167303-73-7-1
167303-73-7-1
167303-73-7-1
167303-71-1
181: RCT (Rectant); SPM (Synthetic preparation); PREP (Preparation) (prepn. of (pyrrolidinylnethyl)indoles 5-HT1-11ke agonists)
17 167302-44-5P 167302-45-6P 167302-45-0P
167302-50-3P 167302-51-4P 167302-52-5P
167302-50-3P 167302-51-4P 167302-52-5P
167302-56-6P 167302-63-7P 167302-53-8P
167302-64-9P 167302-63-0P 167302-63-1P
167302-71-8P 167302-77-9P 167302-73-0P
167302-71-8P 167302-78-5P 167302-79-6P
167302-79-4P 167302-78-5P 167302-79-6P
167302-33-4P 167302-49-1P 167302-22-1P
167302-33-4P 167302-49-5P 167302-93-6P
167302-83-4P 167302-93-5P 167302-93-6P
167302-93-4P 167302-93-5P 167302-93-6P
167302-93-4P 167302-93-5P 167302-93-6P
167302-93-4P 167302-93-5P 167302-93-6P
167302-93-0P 167303-00-6P 167303-01-7P

L6 AKSMER 5 OF 180 CAPLUS COPYRIGHT 1996 ACS
1995:685346 Document No. 123:313894 Z-Y-ZH compounds as potential
1,3-dipoles. Part 44. Asymmetric 1,3-dipolar cycloaddition reactions
of imines and chiral cyclic dipolarophiles. Cooper, Daniel M.;
Grigg, Ronald; Hargraeves, Simon; Kennevell, Peter; Redpath, James
(Sch. Chem., Leeds Univ., Leeds, LS2 93T, UK). Tetrahedron, 51(28),
7791-800 (English) 1995. COOPER: TETRAB. ISSN: 0040-4020.

AB Metallo-1,3-dipoles generated in situ from both aryl and aliph.
laines of .alpha.-amino esters by the action of silver salts and
tertiary maines undergo cycloaddn. at room temp. to give
(menthyl)furo[3,4-c]pyrrolecarboxylates pyrrolopyrrolecarboxyates.
.pi.-interaction between the dipolarophile carboxyl group and the
aryl group in the aryl imines is not required for good induction.
The stronger the base the faster the cycloaddn. with
2-t-butyl-1,1,3,-tetramethylguanidine > DBU > MEt3. X-ray crystal
structures of representative cycloadducts established the abs.
configuration of the pyrrolidine stereocenters.

II 170027-89-1P 170027-95-9P
RL: SPM (Synthetic preparation); PREP (Preparation)
(prepn. of)

08/466,644 Page 17

- ANSWER 6 OF 180 CAPLUS COPYRIGHT 1996 ACS
- AMSWER 8 OF 180 CAPUS COPPRIGHT 1996 ACS
 follows occument No. 123-14025 Opportunities and limitations of
 modern TLC/HPTLC in the quality control of L-tryptophan. Jork,
 Hellaut; Ganz, Jutta (Department Pharmacy und Biological Chemistry,
 University Savarland, Saarbruckten, 66041, Germany). L-Tryptophan:
 Curr. Prospects Med. Drug Saf., 338-50. Editor(s): Kochen, Walter;
 Steinhart, Hans. de Gruyter: Berlin, Germany. (English) 1994.
 CONDS. 6.11289.
- Curr. Prospects Med. Drug Saf., 338-50. Editor(s): Kochen, Walter; Steinhart, Rans. de Gruyter: Berlin, Germany. (English) 1994.

 CODEN: 613RA9.

 Ascending, one-dimensional development of chromatograms was carried out on Chiralplates (10 x 20 cm) in a trough chamber with chamber satn. The mobile phase was acctomitrile-methanol-water (40-10-10, vol./vol./v). The chromatog, was completed after 10 am (distance run 6 cm). The zones were stained by dipping (1 s) in a ninhydrin soln. and heating to 110.degree. for 5 min. Bluish-red zones were produced on colorless backgrounds for L-tryptophan, D-tryptophan, and 1,1'-ethylidene-bis(L-tryptophan). Only the two distance were stained ocher yellow. The selectivity of thin-layer chromatog. sepn. is so great that L-and D-tryptophan and 1,1'-ethylidene-bis(L-tryptophan) can be sepd. excellently. Nor is there any difficulty in sepg. L-tryptophan, 1,1'-ethylidene-bis(L-tryptophan). 3-carboxy-1-methyl-1,2,3,4-tetrahydro-beta-carboline. 1164068-18-2 164203-07-0

 RE: ANI (Analyte): ANSI (Analytical study) (opportunities and limitations of modern ILC/HPTLC in the quality control of L-tryptophan)
 - control of t-tryptophan)

- 195:149880 Document No. 122:306133 Effect of a 5-HII receptor agonist, CP-122,288, on edema formation induced by stimulation of the rat saphenous nerve. Kajekar, Radnika; Gupta, Paul; Shepperson, Micholas B.; Brain, Susan D. (Vascular Biology Research Centre, King's College, London, SW 6LX, UK). Br. J. Pharmacol., 115[1], 1-2 (English) 1995. CODEN: BJPEM. ISSN: 00007-1188.

 AB Neurogenic edema formation in the rat hind paw skin induced by elec. stimulation of the saphenous nerve and measured by extrawastion of [1251]-albumin, was inhibited by the 5-HIIB receptor agonist, CP-32,129, and the novel tryptamine analog, CP-122,288. Significant inhibition of up to 664 of control was obod, with CP-122,288 (2 .times. 10-14 2 .times. 10-7 nol kg-1) and CP-93,129 (5 .times. 10-7 times. 10-6 hg-1), with the ain. ED for CP-122,288 (2 stimes about 107 fold less than that for GP-93,129. Edema formation induced by the intradermal administration of exogenous mediators (substance P and histamine) in rat dorsal skin was not inhibited by CP-122,288 (2 .times. 10-10 nol kg-1). These results suggest that CP-122,288 is a potent inhibitor of neurogenic inflammation in rat skin and that the effect may be due to a prejunctional inhibition of neuropeptide release.

 Il 143321-74-8, CP-122288

 Ri EAR (Biologica) activity or effector, except adverse); THU (Iherapeutic use); BiO. (810logical study); USES (Uses)
 (neurogenic edema inhibition by 5-HII receptor agonist CP-122288)

1995:575044 Document No. 122:309995 Differentiating Penicillium species by detection of indole metabolites using a filter paper method. Lund, F. (Department of Biotechnology, Technical University of Denmark, Lyngby, Den.). Lett. Appl. Microbiol., 20(4), 228-31 (English) 1995. CODEN: LAMIET. ISSN: 0266-0254.

Al The indole secondary metabolites chaetoglobosin C, cyclopiazonic acid, isofumigaclavine A and rugulovasine A and B produced by several Penicillium species growing on Crapek yeast autolyzate agar were detected directly in the culture using filter paper wetted with Ehrlich registed directly in the culture using filter paper wested with Ehrlich registed directly in the culture using filter paper wested with Ehrlich registed of an agar plug and the metabolites were visualized as a violet zone on the paper within 10 ain. It was shown that the combined characters of the violet reaction on filter paper and the ability to grow on creatine sucrose agar occurred in 5 out of 16 species of Penicillium examé. A few addni. simple morphol, and physiol. criteria were then sufficient for identification of P. camemberti, P. commune, P. discolor, P. expansum and P. roueforti var. rouqueforti.

Il 50463-76-6, Chaetoglobosin C
R.: Aff (Ama)tyci, AMST (Ana)tycical study)
(Differentiating Penicillium species by detection of indole metabolites using a filter paper method)

- L6 ANSMER 9 OF 180 CAPLUS COPYRIGHT 1996 ACS
 1995:521096 Document No. 122:310000 High-performance liquid chromatography comparison of supercritical-fluid extraction and solvent extraction of microbial fermentation products. Cocks, Simon; Wrigley, Stephen K.; Chitcarelli-Robinson, M. Ines; Smith, Roger M. (Xenova Ltd, 240 Bath Road, Slough Berkshire, SLI 4EQ, UK). J. Chromatogr., A, 697(1 + 2), 115-22 (English) 1995. CODEN: JCRAEY.
- J. Chromatogr., A, 09/(1 + 2), 113-62 [Engine] 17775. Court.
 JCRARY.
 The use of supercrit. fluids for the extn. of biol. active compds.
 from the biomass of atcrobial ferms. has been compared with extn.
 using the org. solvents methanol and dichloromethane. Compds.
 representing a range of structural types were selected for
 investigation. All the exts. obtained were examed, by reversed-phase
 HPLC. The extractability of metabolities using unmodified and
 methanol-modified supercrit.-fluid carbon dioxide was examd. in
 particular detail for six microbial metabolities: chaetoglobosin A,
 nycolutein, luteoreticulin, 7,8-dihydro-7,8-epony-1-hydroxy-3hydroxymethylxanthone-8-carboxylic acid Me ester, sydowinin B and
 elalophylin. The extn. strength of supercrit.-fluid carbon dioxide
 alone appeared to be lower than that of dichloromethane. All the
 components of interest that were extractable with dichloromethane
 and methanol were also extractable with methanol-modified carbon
 dioxide.

and methanor were also catheteauter and account of the distribution of the distributio microbial fermn. products)

ARSWER 10 OF 180 CAPLUS COPYRIGHT 1996 ACS
::517652 Document No. 122:33479 Synthesis of Aristotelia-type
alkaloids. Part XY. Total synthesis of (*)-hobartinol. Dobler,
Markus; Anderson, Jaues C.; Juch, Mathias; Borschberg, Hans-Juerg
(Lab. Org. Chen., Eidgenessischen Tech. Rochschule, Zurtch,
CH-8092, Switz.). Helv. Chim. Acta, 78(2), 292-300 (English) 1995.
CODEN: HCACAV. ISSN: 0018-019X.

AB Synthetic (+)-makomakine was transformed in six steps into (+)-{17R,18R}-17,18-dihydrohobartine-17,18-diol ((+)-1) with an overall yield of 38%. This compo. was shown to be identical with natural hobartinol, a monoterpene indole alkaloid from Aristotella australasica, originally believed to be the (173)-epiaer. At the same time, the synthesis of (+)-1 delineates the hitherto unknown abs. configuration of this metabolite.

11 31659-04P, (+)-Mobartinol
RL: PRP (Properties); SPW (Synthetic preparation); PREP (Preparation)
(total synthesis of hobartinol)
11 79559-56-1, (-)-Makomakine
RL: RCI (Reactant)
(total synthesis of hobartinol)
11 63812-29-1P 163812-32-6P
RL: RCI (Reactant): SPW (Synthetic preparation); PREP (Preparation)
(total synthesis of hobartinol)
11 63812-33-7P 163956-17-0P
RL: SPW (Synthetic preparation); PREP (Preparation)

RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of hobartinol)

IT 143321-74-8, CP-122288

RI: BAC (Biological activity or effector, except adverse); BIOL (Biological study) (pre- and postjunctional activity of CP-122,288, a conformationally restricted analog of sumatriptan)

Page 18

AMSWER 11 OF 180 CAPLUS COPYRIGHT 1996 ACS
95:502550 Document Mo. 123:228024 Trapping of tainiums by the indole nucleus during catalytic hydrogenation of nitriles: a rapid synthesis of tetrahydro-.beta.-carbolines. Diker, Khalid; Doce de Maindreville, Mitchel; Lery, Jean (Faculte Pharmacle, Universite Reias Champagne-Ardenne, Reias, F-51096, Fr.). Tetrahedron Lett., 36[14], 2497-500 (English) 1995. CODER: TELEAY. ISSN: 0040-4039. Reductive self-condensation of indoleacetonitrile upon catalytic hydrogenation over Pd-C in acetic acid yielded 1-(3-indolylmethyl)-1,2,3,4-tetrahydro-.beta.-carboline. Hydrogenating 3,4-dimethoxyphenylacetonitrile failed to give tetrahydropapaverine, but a cross reaction between indoleacetonitrile and 3,4-dimethoxyphenylacetonitrile allowed isolation of 1-{3,4-dimethoxyphenyl}-1,2,3,4-tetrahydro-.beta.-carboline, which was also prepd. (76 %) by catalytic hydrogenation of a mixt. of tryptanine and 3,4-dimethoxyphenylacetonitrile. Besides an easy access to the yohidanes keleton, the reaction opens the way to a useful general synthesis of tetrahydro-.beta.-carbolines. useful general synthesis of tetrahydro-.beta.-carbolines.

IT 168209-33-4P
RL: RCT (Reactant); SPM (Synthetic preparation); PREP (Preparation)
(synthesis of tetrahydrocarbolines by catalytic hydrogenation of nitriles}

IT 168209-35-6P

RL: SPM (Synthetic preparation); PREP (Preparation) (synthesis of tetrahydrocarbolines by catalytic hydrogenation of nitriles)

- L6 ANSWER 13 OF 180 CAPLUS COPYRIGHT 1996 ACS

 1995:421524 Document Mo. 122:205025 Suppression by the sumatriptan analog, CP-122,288 of c-fos imminoreactivity in trigestinal nucleus caudalis induced by intracisterinal capsaich. Cutrer, F. Michael; Schoenfeld, David; Limmroth, Volker; Panahian, Martinan; Moskomitz, Michael A. (Harvard Med. Sch., Massachusetts Gen. Hosp., Boston, MA. 02114, USA). Br. J. Pharmacol., 114(5), 987-92 (English) 1995.

 CODER: BJPCBM. ISSN: 0007-1188.

 AB The effects of an 1.v. administered sumatriptan analog were examd. On c-fos-like immunoreactivity (c-fos-Ll), a marker of neuronal activation, evoked within trigenian nucleus caudalis (TMC) and other brain stem regions 2 h after intracisternal injection of the irritant, capsaicin (0.1 mo., 0.1 md), in pentobarbitone-anesthetized Hartley guinea pigs. C-fos-Ll was assessed in eighteen serial sections (50. mu. m) using a polyclonal antiserum. A weighted av., reflecting total expression within lamina 1, 110 of TMC was obtained from three representative levels (i.e., at -0.225 mm, -2.475 mm and -6.075 mm). Capsaicin caused significant labeling within lamina 1, 110, a region contg, axonal terminatins of snall unayelinated C-fibers, as well as within the nucleus of the solitary tract, area postrema and medial reticular nucleus. A shallar distribution of pos. cells was reported previously after intracisternal injection of other chem. Irritants such as autologous blood or carrageenin. Pretreatment with a conformationally restricted sumatriptan analog (with some selectivity for 5-MIB and 5-MID receptor subtypes) CP-122,288, reduced the weighted av. by approx. 50-60k (P < 0.05) in lamina 1, 110 at 100 pool kg-1, i.v., but did not decreace cell no. within area postrema, nucleus of the solitary tract or medical reticular nucleus. A shallar pattern was reported previously following sumatriptan, dihydroergotatine or CP-93,129 administration after noxious meningeal stinulation. We conclude that modifications at the anino-Et side chain of sumatriptan d
- - 43321-74-8, CP-122288

 RL: BAC (Biological activity or effector, except adverse); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)
 (Suppression by sumatriptan analog, CP-122,288 of c-fos
 immunoreactivity in trigeminal nucleus caudalis induced by
 intracisternal capsaicin)

L6 AMSWER 14 OF 180 CAPLUS COPYRIGHT 1996 ACS
1995:3773949 Document No. 122:240108 Microbial hydroxylation of some
synthetic Aristotelia alkaloids. Dobler, Markus; Borschberg,
Hans-Juerg (Lab. Org. Chem., Eldgenoesschen Tech. Hochsch., Zurich,
CH-8092, Switz.). Tetrahedron: Asymmetry, 6(1), 213-20 (English)
1995. CODEM: TASYEJ. ISSN: 0957-4166. OTHER SOURCES: CASREACT
1222-2601

AB Synthetically prepd., optically pure samples of the rare Aristotelia alkaloids (+)-makomakine (1), (-)-hobartine, and (+)-aristoteline, were exposed to twelve selected fungal strains and have been shown to afford, sometimes in preparatively acceptable yield, known, as well as hitherto unknown hydroxylated derivs. thereof.

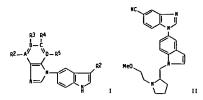
[I 162333-71-3P 16233-72-4P 16233-73-59

182428-48-0P
RI: BPR (Biosynthetic preparation); BIOL (Biological study); PREP
(Preparation)
(aicrobial hydroxylation of some synthetic Aristotelia alkaloids)
II 73004-61-2, (-)-Riobartine 79559-56-1,
(-)-Makomakine
RI: RI (Reactant)
(aicrobial hydroxylation of some synthetic Aristotelia alkaloids)

ANSWER 15 OF 180 CAPLUS COPYRIGHT 1996 ACS 160906-86-5P 160906-87-6P 160906-95-6P 160906-96-7P 160906-97-8P 160907-00-6P 16997-08-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for arylindole serotoninergic agonist)
II 160906-56-9P 160906-57-0P 160905-58-1P
160906-59-2P 160906-60-SP 160905-61-6P
160906-62-7P 160906-61-P 160906-68-3P
160906-65-0P 160906-66-1P 160905-68-3P
160906-69-4P 160906-72-9P 160905-73-0P
160906-74-1P 160906-72-P 160906-73-0P
160906-80-9P 160906-51-2P 160906-94-SP
160907-03-9P
RL: SPN (Synthetic preparation): PREP (Preparation) 160907-03-9P
RL: SPM (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotoninergic agonist)
II 15127-88-7
RL: RCT (Reactant)
(reactant for arylindole serotoninergic agonist)
II 160907-09-5 RL: RCT (Reactant)
(serotoninergic agonist)

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L6 AMSWER 15 OF 180 CAPLUS COPYRIGHT 1996 ACS
1995:354225 Document No. 122:133200 5-arylindole derivatives and their
use as serotonin (5-HT1) agonists. Macor, John Eugene (Pfizer Inc.,
USA). PCI Int. Appl. NO 9410171 Al 940511, 72 pp. DESIGNATED
STATES: W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US; RN: AT, BE,
CH, DE, DN, ES, FR, GB, GR, IE, IT, LU, NC, NL, PT, SE. (English).
CODER: PIXXOZ. APPLICATION: NO 93-US9790 931019. PRIORITY: US
92-970756 921102.



AB The title compds. I (RI = aminoalkyl; R2-R5 = H, alkyl, aryl, etc.) were disclosed. I are useful in treating migraine and other disorders; they are useful psychotherapeutics and are potent serotonin (5-HI) agonists and benzodiazepine agonists and analogunists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paravysmal hemicrania and headache assocd, with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. I are also useful as centrally acting antihypertensives and vasodilators. A specifically claimed example compd. is 5-cyano-1-[[3-[1-(2-methoxyethyl)-2-pyrrolidiny]]methyl-5-indolyl]-Hb-benzinidazole (ii).

II 160907-04-0P 160907-05-1P 160907-06-2P
RI: SPM (Synthetic preparation): PREP (Preparation)

160907-07-3P
RL: SPM (Synthetic preparation); PREP (Preparation)
(prepn. of)
I 43322-01-4P ISS1272-89-8P 151272-90-1P
IS1272-99-0P 151273-00-6P 151273-01-7P
IS1273-05-1P 151273-06-2P 151273-07-3P
IS1273-08-4P 151273-11-9P 153752-55-5P
IS0906-44-5P 160906-45-6P 160906-45-7P
IS0906-51-3P 160906-51-4P 160906-54-7P
IS0906-51-3P 160906-51-4P 160906-54-7P

160905-55-8P 160906-81-0P 160906-82-1P 160905-83-2P 160906-84-3P 160906-85-4P

L6 ANSWER 16 OF 180 CAPLUS COPYRIGHT 1996 ACS
1995:300051 DOCUMENT NO. 122:64328 Use of indole derivatives as 5-HT1
antagonists. Macor. John Eugene (Pfizer inc., USA). PCI Int. Appl.
W0 9425023 AI 941110, 22 pp. DESIGNATED STATES: W: AU, BG, BR, CA,
CN, CZ, FI, HU, JP, KR, NO, NZ, PL, RO, RU, SK; RN: AT, BE, BF, BJ,
CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, NC, ML,
MR, NE, ML, PI, SE, SM, ID, TG. (English). CODEN: PIXXOZ.
APPLICATION: NO 94-1879 940426. PRIORITY: US 93-53930 30427.
AB The present invention relates to pharmaceutical compns. contg.
(R)-5-(cnethy) aninosul fony inethyl)-3-(4)-enthyl)-1H-Indole or (R)-5-(qethylaninosul fonyinethyl)-3-(pyrrolidin-Zyinethyl)-1H-Indole for the treatment of conditions such as
hypertension, depression, anxiety, eating disorders, obesity, drug
abuse, cluster headache, aigraine, pain, chronic paroxysmal
henicrania, and headache assocd. With vascular disorders.
IT 143321-82-8P
RL: RCT (Reactant): SPM (Synthetic preparation); PREP (Preparation)
(indole derivs. for treatment of disorders from deficient
serotonergic neurotransmission)
IT 143321-74-8P 143321-78-2P
RL: SPM (Synthetic preparation); THU (Therapeutic use); BIOL
(fit) (Marchael study) DSPD (Decamentation); Marchael (Marchael)

3321-74-07 143321-74-27 RIL: SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Indole derivs. for treatment of disorders from deficient serotonergic neurotransmission)

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ARSWER 17 OF 180 CAPLUS COPYRIGHT 1996 ACS
5:191714 Document No. 122:106219 Synthesis of Aristotelia-type
alkaloids. Part XIV. total synthesis of (+)-aristolone. Dobler,
Markus; Borschberg, Hans-Juerg (Lab. Org. Chem., Eldgenoessischen
Iechnischen Hochschule, Zurich, CH-8092, Switz.). Tetrahedron:
Asymmetry, 5(10), 2025-22 (English) 1994. CODER: IASYE3. ISSR:
0957-4166. OTHER SOURCES: CASREACT 122:106219.

- The first total synthesis of the highly functionalized monoterpenoid indole alkaloid (*)-aristolone (1) is described. This investigation uncovered the hitherto unknown relative and abs. configuration of this rare metabolite which had been isolated before by others in ppm-amis. From Aristotelia australasica. Dehydration of synthetic led to a readily separable nixt. of the two alkaloids 11,12-didehydro-1-oxomakomakine and 11,12-didehydro-1-oxomakomakine and 11,12-didehydro-1-oxomakomakine which had been isolated in 1988 from A. chilensis.
- 11 79559-56-1P, (+)-Makonakine
 RI: RCT (Reactant); SPM (Synthetic preparation); PREP (Preparation)
 (total synthesis of aristolone)
 11 99655-77-3P 159979-19-8P 159979-26-7P
 - RL: SPM (Synthetic preparation); PREP (Preparation) (total synthesis of aristolone)

L6 AMSWER 19 OF 180 CAPLUS COPYRIGHT 1996 ACS
1994:683120 Document No. 121:281120 The synthesis of
alpha.-(3-indoly)methyl)proline-containing compounds as CCK
ligands: analogs of PD-134308. Kendrick, David A.; Ryder, Hamish;
Semple, Graeme; Sheppard, Andrew: Szelke, Michael (Res. Cent.,
Southampton Univ., Southampton, SOI 7MP, WK). Pept. 1992, Proc.
Eur. Pept. Symp., 22nd, Meeting Date 1992, 579-80. Editor(s):
Schneider, Conrad H.; Eberle, Alex N. ESCOM: Leiden, Neth.
(English) 1993. CODEN: SOLUAN.

- AB A report from a symposium on the stereoselective prepn. of analogs I (Adoc = 2-adamantyloxycarbonyl) which have and .alpha.-(3-indolylmethyl)proline residue in place of the .alpha.-methyl-D-trybtophan of PD 13430B.

 II 158873-11-LDP, peptides contg.
 RL: RCI (Reactant): SPM (Synthetic preparation): PREP (Preparation) (asym. synthesis of .alpha.-(3-indolylmethyl)proline-contg. peptides as analogs of PD 13430B)

 II 158873-12-2DP, derivs.
 RL: SPM (Synthetic preparation): PREP (Preparation) (asym. synthesis of .alpha.-(3-indolylmethyl)proline-contg. peptides as analogs of PD 13430B)

L6 ARSWER 18 OF 180 CAPLUS COPYRIGHT 1996 ACS
1995:115157 Document Mo. 122:9327 Stoichiometrically sensitized
decarboxylation occurring in a mol. crystal composed of
phenanthridine and 3-indoleacetic acid. Koshima, Hideko; Ding,
Kuilling; Matsuura, Teruo (Fac. Sci. Technology, Rywkoku Univ.,
CISW, 520-21, Japan). J. Chem. Soc., Chem. Commun. (18), 2053-4
(English) 1994. CODEN: JCCCAT. ISSN: 0022-4936. OINER SOURCES:
CJRSC.
AB Irrado. of a mol. crystal between phenanthridine and 3-indoleacetic
acid at -70-degree.C causes decarboxylation to give 3-aethylindole
in high yield as the sole product; phenanthridine behaves like a
stoichiometric sensitizer in the crystal.

IT 159617-53-5P
RL: BYP (Byproduct): PREP (Preparation)
(stoichiometrically sensitized decarboxylation occurring in a
mol. crystal composed of phenanthridine and indoleacetic acid)

- L6 AMSWER 20 OF 180 CAPLUS COPYRIGHT 1996 ACS
 1994:680497 Document No. 121:280497 Use of 2,5-Dimethylpyrrole as an Amino-Protecting Group in an Efficient Synthesis of 5-Amino-3-[(N-methyl-pyrrolidin-2(R)-yl)methyl]indole. Macor, John E.; Chenard, Bert L.; Post, Romald J. (Department of Medicinal Chemistry, Pfizer Inc., Groton, CT, 06340, USA). J. Org. Chem., 59[24), 7496-8 [English) 1994. CODEN: JOCCAN. ISSN: 0022-3263. OTHER SUBRESS: CASREACT 121:280497; CLACS-IMAGE; CLACS.
 AB 5-Amino-3-(N-methylpyrrolidin-2R-ylmethyl)indole was synthesized in an overall of 39% in four steps on a large scale. Crucial to the success of this sequence was the use of a 2,5-dimethylpyrrole as the protecting group for the 5-aminoindole functionality. This protecting group for the 5-aminoindole functionality. This protecting group for the 5-aminoindole functionality. This protecting group was stable to (unreactive toward) ethylmagnesium bromide, a hindered acid chloride (CE2-proline acid chloride), and lithium aluminum hydride, but eastly removed in high yield using unique conditions (hydroxylamine hydrochloride/triethylamine/propano 1/water/.DELTA.).
 II 158752-53-59
 RI: RCI (Reactant); SPN (Synthetic preparation); PREP (Preparation) (use of dimethylpyrrole as an amino-protecting group in an efficient synthesis of amino[(methylpyrrolidinyl)methyl]indole)
 II 143322-01-4P
 RI: SPN (Synthetic preparation); PREP (Preparation)
- IT 143322-01-4P
 - 13322-01-47
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (use of dimethylpyrrole as an amino-protecting group in an
 efficient synthesis of amino[(methylpyrrolidinyl)methyl]indole)

08/466,644 Page 21

ARSWER 21 OF 180 CAPLUS COPYRIGHT 1996 ACS
1:631280 Document No. 121:231280 Mon-decarboxylative 1,3-dipolar cycloadditions of infines of .alpha.-aaino acids as a route to proline derivatives. Aly, Moustafa F.; Younes, Mansour I.; Metwally, Saoud A. M. (Fac. Sci., Assiut Univ., Qena, Egypt). Tetrahedron, 50(10), 3159-68 [English] 1994. CODER: TETRAB. ISSM: 0040-4020. OTHER SOURCES: CASREACT 121:231280.

A8 The 1,3-dipolar cycloaddn. reaction of alanine with salicylaldehyde and M-substituted maleinides i (R = Me, Ph) gave stereospecific cycloadducts iI. The 1,3-dipolar cycloaddn. reaction of .alpha. -maino acids with anyl aldehydes in the presence of di-Me fumarate gave isomeric cycloadducts III (Ar = 2-hydroxyphenyl, R] = Me, H, CHZCHMEZ, CHZCHZSMe, CHZPh, indoi-3-ylaethyl; Ar Ph, 2-methoxyphenyl, 2,4-dimethoxyphenyl, R] = Me) and IV (Ar and R] = same). The relatively low yield in the case of di-Me fumarate is presumably due to the steric interaction between the dipolarophile and the substituents at both ends of the dipole.

II 158134-75-99 158249-37-7P
RL: SPM (Synthetic preparation): PREP (Preparation)

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

L6 ANSWER 23 OF 180 CAPLUS COPYRIGHT 1996 ACS 1994:483048 DOCUMENT NO. 121:83048 (ACYLANINO) INDO DE DEFIVATIVES AS 5-H11 agontsts. Macor, John E. (Pfizer Inc., USA). PCI Int. Appl. WO 9321180 A1 931028, 32 pp. DESIGNATED STATES: W. AU, BR, CA, CZ, DE, JP, KR, MO, MZ, PL, RU, SK, UA, US; NS: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, UL, MC, NL, PT, SE. (Eq)115h). CODER: PIXXOZ. APPLICATION: WO 93-US1807 930304. PRIORITY: US 92-866382 920410.

AB The title compds. I [R1 = H, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, (un)substituted aryl, etc.; R2 = CF3, C1-6 alkyl, aryl, C1-3 alkylaryl, etc.; R6 = H, OH, alkoxy, aryloxy, acylanino, etc.; M, Y = anino acid residue; n = 0, 1; n = 0-2], which are 5-H1 agonists (no data), useful in the treatment of hypertension (no data), depression (no data), anxiety (no data), pain (no data), etc., are prepd. Thus, M-benzyloxycabonylglycine was coupled with 5-anino-3-(M-methylpyrrolidin-2R-ylnethyl)-1H-indole, producing 5-(M-benzyloxycarbonylglycyl) anino-3-(M-methylpyrrolidin-2R-ylnethyl)-1H-indole in /A4 yield.

11 14321-38-81 143322-14-1 151272-99-8
154038-83-2 154038-84-3 154038-85-4

154038-86-5 RL: RCT (Reactant)

(prepn. as serotoninergic receptor agonist) IT 143321-58-8 143322-01-4 151273-38-0

RCI (Reactant)
(reactant, in prepn. of (acylamino)indole serotoninergic receptor agon1sts)

16 AMSMER 22 OF 180 CAPLUS COPYRIGHT 1996 ACS
1994:574790 Document No. 121:174790 Antifungal substances produced by Chactorius globosum. Amesiya, Toshimiti; Kondo, Akihiro; Mirano, Kazuya; Hirukawa, Toshimusi; Kato, Tadahiro (Fac. Mortic., Chiba Univ., Matsudo, 271, Japan). Chiba Daigaku Engelgakub Gakujutsu Hokoku, 48, 13-18 (Japanese) 1994. CDDEM: CDEGAF. ISSN: 0069-3227.

AB Antifungal substances were extd. From culture filtrate of the most untagenistic isolate identified as Chactosium globosum. Two active substances were obtained by using silita gel column chromatog. and high performance liq. chromatog. By analyzing with mass spectrometer (EINS, NR-MS), IM-MMR and ISC-MRR, the major substance was identified as Chactoglobosin A, one of the toxic metabolites produced by C. globosum and C. chochitodes. Another substance was assumed to have sallar structure with Chaetoglobosin A. The major substance completely inhibited the spore germination of V. dahlise at 32. am. g/ml. It was also active against V. albo-atrum and Rhizoctonia solani, but not against Fusarium oxysporum, F. solani and Pythium aphanidermatum.

II 50335-03-0, Chactoglobosin A
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(from Chaetomium globosum, antifungal activity of, against Verticillium and Rhizoctonia)

AMSWER 24 OF 180 CAPLUS COPVRIGHT 1996 ACS
1:473079 Document No. 121:73079 5-[(3-Mitropyrid-2y1) amino] indoles: Novel Serotonin Agonists with Selectivity for the
5-HIJD Receptor. Variation of the C3 substituent on the Indole
1emplate Leads to Increased 5-HIJD Receptor Selectivity. Macor,
John E.; Blank, David H.; Fox, Carol B.; Lebel, Lorraine A.; Hewman,
Michael E.; Post, Ronald J.; Ryan, Kevin; Schnidt, Anne W.; Schulz,
David W.; Koe, B. Kenneth (Department of Medicinal Chemistry, Pfizer
Inc., Groton, CT, 06340, USA). J. Med. Chem., 37(16), 2509-12
(English) 1994. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES:
CASREACT 121:73079; CJACS-IMAGE; CJACS.

AB A series of 5-{3-nitropyrid-2-ylamino}indoles {} has been AB A series of 5-(3-nitropyrid-2-ylanino)indoles () has been synthesized which contain 2-aminoethyl side chains at C3 of the indole with varying degrees of conformational constraint. These compds. show different degrees of selectivity for the 5-HIID receptor, depending on the C3 substituent. The major effect on binding and functional activity appears to be with variation of affinity and potency for the 5-HIID receptor. The compd. most selective for the 5-HIID receptor in this series is 1.

Il 18321-58-8P 151273-38-0P

IT 143321-58-8P 151273-38-0P

R1: RCT (Reactant): SPM (Synthetic preparation); PREP (Preparation)
(prepn. and deprotection of)

IT 433322-01-4P 151272-89-8P

R1: RCT (Reactant): SPM (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with chloronitropyridine)

IT 151272-89-7P 151272-90-1P

R1: SPM (Synthetic preparation); PREP (Preparation)
(prepn. and serotoninergic 510-agonist activity of, structure in relation to)

- AB Title compds. I [R] = (C1-6 acyl)-C1-3 alkylene, (C1-6 alkyl-QC2)-C1-3 alkylene, (MZNOC)-C1-3 alkylene, (MZNOC)-C1-3 alkylene, (MZNOC)-C1-3 alkylene, (MDOC)-C1-3 alkylene, (MDOC)-C1-3 alkylene etc.; R2 = M, halo, F3C, MC, MZNOC, MO, etc.; k = 0-2] or a salt thereof, are prepd. 5-(2-Ethylsulfonylethyl)-3-(2R-pyrrodinylaethyl)-1H-indole (prepn. given) was reacted with 2-pyridylaethyl horidet to give | KR1 = 2-pyridylnethyl, R2 = 2-E150CCM2CM2, k = 1]. A similar prepd. I (R1 = ECCCM2, R2 = E150CCM2CM2, K = 1]. A similar prepd. I (R1 = ECCCM2, R2 = E150CCM2CM2, K = 1] availated for max. contraction on saphenous vein strip showed an EC50 = 3.1 .times. 10-3M.

 II 443322-48-9P 153435-71-3P 153525-51-0P
 153525-52-1P 153525-52-P 153525-51-0P
 R1: ECT (Reactant); SPM (Synthetic preparation): PREP (Preparation)

- 153525-52-1P 153525-53-2P 153525-54-3P
 153525-55-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, prepn. of 5-HT1 agonists)
 1T 143322-46-7P 143322-47-8P 153525-37-6P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 1T 153435-72-4P 153435-73-5P 153525-10-1P
 153525-12-P 153525-13-2P 153525-13-4P
 153525-17-8P 153525-16-6P 153525-16-7P
 153525-17-8P 153525-18-9P 153525-22-5P
 153525-27-3P 153525-27-2P 153525-22-5P
 153525-27-6P 153525-37-2P 153525-33-6P
 153525-32-7P 153525-33-8P 153525-33-9P
 153525-32-7P 153525-36-1P 153525-37-2P
 153525-38-P 153525-36-1P 153525-37-2P
 153525-38-P 153525-36-1P 153525-40-7P
 153525-48-P 153525-36-1P 153525-40-7P
 153525-48-P 153525-38-8P 153525-30-9P
 153525-48-P 153525-38-8P 153525-40-7P
 153525-48-P 153525-38-8P 153525-40-7P
 153525-44-1P 153525-48-5P 153525-46-3P
 153525-47-4P 153525-48-5P 153525-46-3P
- L6 ARSWER 26 OF 180 CAPLUS COPYRIGHT 1996 ACS
 1994:298634 Document No. 120:298634 Preparation of inidazole,
 triazole, and tetrazole derivatives as 5-HT1-like receptor agonists.
 Castro Pineiro, Jose Luis; Castro, Pineiro Jose Luis; Guiblin,
 Alexander Richard; Natassa, Victor Giulio; Reeve, Austin John;
 Sternfeld, Francine; Street, Leslie Joseph (Merck Sharp and Dohne
 Ltd., UK). PCT Int. Appl. W0 9402477 Al 940203, 83 pp. DESIGAMIEO
 STATES: W: AU, CA, JP, US; RW: AT, BE, CH, DE, OK, ES, FR, GB, GR,
 IE, IT, U, MC, ML, PT, SE. (English). CODEN: PIXXOZ.
 APPLICATION: W0 93-G81495 930715. PRIORITY: GB 92-15721 920724; GB
 92-25857 921208. 92-25657 921208.

- AB Title compds. [I: the broken circle represents two non-adjacent double bonds in any position in the five-membered ring: Al = H, hydrocarbyl, heterocyclyl, halo, etc.: A2 = groups cited for Al, etc.; E = bond, alkylene; R = heteroaryl group Q; B = O, S, MR3; Rl = 2-pyrrolidinoethyl, 3-mainocyclobutyl, 3-pyrrolidinjmethyl, etc.; U = N, CR2; R2,R3 = H, alkyl; Z-4 of V,W,X,Y,Z = N and the other(s) = C (sic)] were preped Thus, 1-(4-hydrazinohenyl)methyl-12,4-triazole and 4-(1-azetidinyl)butanal di-Me acetal (prepn. each given) were subjected to Fischer indole synthesis conditions to give title compd. II. I had pECSO of .gtoreq.5.0 for mediation of rabbit saphenous vein contraction.

 II 154748-38-69
 RL: RCI (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of 5-HII-like receptor agonist)

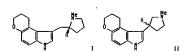
 II 154748-36-49 154748-37-5P 154748-39-7P
 154804-04-3P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as 5-HII-like receptor agonist)
- - (prepn. of, as 5-HT1-like receptor agonist)

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L6 ANSWER 25 OF 180 CAPLUS COPYRIGHT 1996 ACS (Continued)

ADDRECTS OF THE CAPTUS CUPINIGHT 1996 ALS (CO 153525-50-9P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as 5HT] agonist)

L6 AMSWER 27 OF 180 CAPLUS COPYRIGHT 1996 ACS
1994:217347 Document No. 120:217347 The synthesis of
conformationally/rotationally restricted analogs of the
neurotransmitter serotonin. Macor, John E.; Blank, David H.; Post,
Ronald J. (Cent. Res. Div., Pfizer Inc., Groton, CT, 06340, USA).
Tetrahedron Lett., 35(1), 45-8 (English) 1994. CODEX: TELEAY.
ISSN: 0040-4039. DTHER SOURCES: CASREACT 120:217347.



- A8 The novel conformationally/rotationally restricted analogs I and II of the neurotransmitter serotonin which are modeled after the 5-HIZ receptor selective agonist CP-143,474 [a dihydropyrano[3,2-e]indole] were prepd.are described. I was obtained from the pyranoindole and II from 5-indolo].

 IT 153969-85-8P
- RL: SPN (Synthetic preparation); PREP (Preparation)

08/466,644 Page 23

ARSWER 28 OF 180 CAPLUS COPYRIGHT 1996 ACS
4:2717271 DOCUMENT RO. 120:217271 Indole derivatives as 5-HT1
agonists. Brown, Alan Daniel; Olckinson, Roger Peter; Hythes,
Martin James (Pfizer Ltd., UK; Pfizer Inc.; Pfizer Research and
Development Co., N.V./S.A.). PCT Int. Appl. WO 932178 AI 931028,
146 pp. OSIGNATED STATES: M: AN, BR, CA, CZ, FI, HU, JP, KR, MO,
NZ, PL, RU, SK, UM, US; RW: AT, BE, CH, OE, DK, ES, FR, GB, GR, IE,
IT, LU, NC, NL, PT, SE. [English]. CODEN: PIXXOZ. APPLICATION: WO
93-EP867 930408. PRIORITY: GB 97-8161 920414.

AB The title compds. 1 {R = {un}substituted Ph, pyridiny}, pyridaziny}, pyrididiny}, pyraidiny}, pyraidiny}, fury}, thienyi; Rl = H, Cl-6 alky}, C3-7 cycloakley}, C3-6 alkeyp}, C3-6 alkeyp}, c3-6 alkeyp}, etc.; m = 1, 2}, which are selective agonists at the 5-H71-like subtype of the 5-hydroxytryptamine receptor, are prepd. Thus, I {R = 3-C6H450ZHR2, Rl = He, m = 1} was prepd. and demonstrated 50% max. contraction of dog-isolated saphenous vein strip at 3.78 X 10-9 M.

11 IS3434-62-9 IS3434-63-0 IS3434-67-4
IS3434-63-1 S3434-67-6
IS3434-71-0 IS3434-72-1 IS3434-73-2
IS3434-71-0 IS3434-72-1 IS3434-73-2
IS3434-80-1 S1434-81-5 IS343-82-8
IS3434-80-1 S1434-81-5 IS343-82-8
IS3434-80-1 S1434-81-5 IS343-83-6
IS3434-80-1 S1434-80-1 IS343-83-9
IS3434-80-1 S1434-80-1 IS343-83-9
IS3434-80-1 S1434-80-1 IS343-83-1-4
IS3434-80-1 S1434-80-1 IS343-83-1-4
IS3434-80-1 S1434-80-1 IS343-83-1-1
IS343-90-1 S1434-90-1 IS343-91-4
IS343-90-1 S1434-90-1 IS343-91-4
IS343-01-2 IS343-00-1 IS343-00-8
IS3435-01-2 IS3435-00-1 IS3435-00-7
IS3435-01-0 IS3435-11-1 IS3435-02-7
IS3435-10-0 IS3435-11-1 IS3435-12-2
IS3435-13-3 IS3435-02-2 IS3435-12-2
IS3435-13-9 IS3435-20-2 IS3435-12-3
IS3435-23-7 IS3435-20-2 IS3435-21-3
IS3435-23-7 IS3435-20-2 R: RCT (Reactant)
(prepn. as 5-HII receptor agonist)

15343-2-7 153435-26-8 Rl: RCT (Reactant) (prepn. as 5-HT1 receptor agonist) IT 143322-46-7 143322-57-0 153435-54-2 153435-55-3 153435-56-4 153435-57-5 153435-58-6 153435-71-3 153435-72-4

ANSWER 29 OF 180 CAPLUS COPYRIGHT 1996 ACS L6 AKSWER 29 OF 180 CAPLUS COPYRIGHT 1996 ACS
1994:105995 DOCUMENT NO. 120:105995 Preparation of azole indole
derivatives as 5-HT1 agonists. Macor, John E.; Kowakowski, Jolanta
I. (Pfizer Inc., USA). PCT Int. Appl. WO 9318032 A1 930916, 38 pp.
DESIGNATED STATES: W: AU, BR, CA, CZ, DE, JP, KR, MO, RZ, PL, RU,
SK, UA, US; RN: AT, BE, CH, DE, DK, ES, FR, GB, GR, JE, IT, LU, MC,
ML, PT, SE. (English). CODEN: PIXNOZ. APPLICATION: WO 93-US1667
930303. PRIORITY: US 92-846640 920305.

AB Title compds. I (A = bond, Cl-4 alkyl, Cl-4 alkenyl; n = 0-2; R] = H, Cl-6 alklaryl, aryl, Cl-3 alkylheteroaryl, R6(EM2)m wherein R6 = MC, F3C, etc., a = 1-3; M, X, Y, Z = 0, S. M, C such that at least one of M, X, Y, Z 1s K; RZ, R3, R4, R5 = H, Ol-6 alkyl, aryl, Cl-3 alkylaryl, Cl-3 alkylheteroaryl, halo, MC, F3C, OZM, etc.; one of R2R3, R3R4, R4R5 = 5-7-membered alkyl ring, 6-membered alkyl ring, 5-7-membered heteroalkyl having J of O, M, S, etc.; R1) = H, R12O, R12OHM wherein R1Z = Cl-6 alkyl, aryl, Cl-3 alkylaryl) an a salt thereof useful as 5-H1, agonists (no data) and in disorders arising from deficient serotoninergic neurotransaission (no data), are prepd. (R)-I (A = bond, n = 1, R1 = PhCH2O2C, W = S, Z = M, X = Y = C, R2 = R3 = R11 = H, R4 = PhCH2) (prepn. glyen) in THW sat treated with titAlH4 to give (R)-I (A = bond, n = 1, R1 = Me, W = S, Z = M, X = Y = C, R2 = R3 = R11 = H, R4 = PhCH2).

II 152362-19-IP 152362-20-4P 152362-21-5P
R1: RCI (Reactant): SPM (Synthetic preparation): PREP (Preparation) (prepn. and reaction of, in prepn. of 5-H1) agonist)
II 152362-15-7P 152362-16-8P 152362-17-9P
152362-18-D 152362-12-8P 152362-33-9P
RL: SPM (Synthetic preparation): PREP (Preparation)

RL: SPM (Synthetic preparation); PREP (Preparation) (prepn. of, as 5-HT1 agonist)

L6 ANSWER 28 OF 180 CAPLUS COPYRIGHT 1995 ACS (Continued) 153435-73-5 RL: RCT (Reactant) (prepn. as intermediate in prepn. of 5-HT] receptor agonists)

L6 AMSWER 30 OF 180 CAPLUS COPYRIGHT 1996 ACS
1994:10876) Document No. 120:108761 Indole derivatives as serotonin
receptor (5-HT]] agonists. Macor, John E.; Mythes, Martin J.
(Pfizer Inc., USA). PCT Int. Appl. NO 9320073 Al 931014, 43 pp.
DESIGNATED STATES: N: AU, BR, CA, CZ, DE, JP, KR, NO, NZ, PL, RU,
SK, UA, US; RN: AT, BE, CH, DE, DK, ES, FR, GS, GK, IE, IT, UJ, NC.
NL, PT, SE. (English). CODEN: PIXXOZ. APPLICATION: NO 93-US1967
930310. PRIORITY: US 92-864737 920407.

AB Three members of claimed indoles I [n = 0-2; m = 0-3; w = 7 types of oxo- and/or thioxo-substituted azolidinyl radicals (pyrrolidinyl, inidazolidinyl, oxazolidinyl, thiazolidinyl) with optional addni. substitutents; R1 = H, (hydroxy)alkyl, alkenyl, alkynyl, aryl, alkylaryl (sic, e.g., CH2Ph), alkyhheteroaryl, certain heterofunctional-terminated alkyl; R2 = H, OR3, MRCOR2; R3 = H, alkyl, aryl, alkylaryl], potent 5-H11 agonits (no data), were prepd. for treatment of hypertension, depression, anxiety, obesity, migraine, etc. For example, Mitsunobu coupling of the alc. (R)-1-(N-benzyloxycarbonylpyrrolidin-2-yl)-3-hydroxypropene with 2-bromo-4-(2-oxo-1,3-oxazolidin-4(5)-ylaethyl)-1-(trifluoroacetylamino)benzene at the anide M (100P yield), followed by Pd(DAc)2-catalyzed cycliration to an indole (40P), hydrogenolytic deprotection (69PA), and M-alkylation with MeOCH2CH2Br (36FA), gave title compd. II.

II 143322-57-0P
RL 3PM (Synthetic preparation): PREP (Preparation)

RL: SPN (Synthetic preparation); PREP (Preparation)
{intermediate; prepn. of indole derivs. as 5-Hil agonists}'
IT 152305-12-9P 152305-13-0P 152305-22-1P

152305-12-9F 152305-13-DF 152305-22-1F
152305-26-5P
RL: SPM (Synthetic preparation); PREP (Preparation)
(prepn. of indole derivs. as 5-HT1 agonists)

AMSWER 31 OF 180 CAPLUS COPYRIGHT 1996 ACS
33:662341 Document No. 119:262341 Conformationally restricted
sumatriptan analogs, CP-122,288 and CP-122,638 exhibit enhanced
potency against neurogenic inflammation in dura mater. Lee, Won
Suk; Moskowitz, Mitchael A. (Stroke Research Laboratory, Neurosurgery
and Neurology Services, Nassachusetts General Nospital, Harvard
Medical School, 32 Fruit Street, Boston, MA, O2114, USA). Brain
Res., 626(1-2), 303-5 (English) 1993. CODEN: BRREAP. ISSN:
0006-8993.
CP-122,288 and CP-122,638 (analogs of sumatriptan in which the
C3-aminoethyl side chain has been modified blocked plasma protein
extravasation response within dura mater following trigential
ganglion stimulation. The threshold (1 and 0.1 pmol/kg, resp.) was
remarkably lower than for sumatriptan (7 nmol/kg), as was the dose
at max. response. As with sumatriptan, substance P-induced plasma
leakage was unaffected by either compd., and metergoline only
partially (27%) reversed the effects of CP-122,288. The data
suggest the importance of modifications at the aninoethyl side chain
to the actions of sumatriptan and possibly to the treatment of
digraine headache. sigraine headache.

magraine neapache.

43321-74-8, CP 122268 143321-78-2, CP 122638

RL: BIOL (Biological study)

(neurogenic pachymeningitis-inhibition by, structure in relation

16 AMSWER 33 OF 180 CAPLUS COPYRIGHT 1996 ACS
1993:510509 Document No. 119:110509 20-Ketoreductase activity of chaetoglobosin A and prochaetoglobosins in a cell-free system of Chaetomium subaffine and the isolation of new chaetoglobosins.
Oikawa, Mideaki; Murakami, Yasunobu; ichihara, Akitami (fac. Agric., Mokkaido Univ., Sapporo, 060, Japan). Biosci., Biotechnol.,
Biotenes., 57(4), 628-31 (English) 1993. CODEM: BBBIEJ.
AB The conversion of prochaetoglobosins as plausible precursors into mycotoxin chaetoglobosin A in a cell-free system of C. Subaffine was unsuccessful. Reductase activity of the 20-keto-analogs, and prochaetoglobosins if and III were found in a microsomal fraction of this fungi. Two new metabolites of chaetoglobosins, named chaetoglobosin Fex and 20-dihydrochaetoglobosin A, were also isolated from the same aircroorganizas. Their structures were elucidated by spectroscopic data and chem. transformation.
II 189437-95-4 189560-98-5
RL: PROC (Process)
(as chaetoglobosin metabolite of Chaetomium subaffine, formation of)

of) IT 149439-83-8 149439-84-9

| 1 14943-43-8 14943-84-9
R: 810. (Biologica) study)
(chaetoglobosins of Chaetomium subaffine in relation to)
IT 5035-0-0-0, Chaetoglobosin A
R: 810. (Biologica) study)
(ketoreductase of, of Chaetomium subaffine)
IT 133613-78-2 133625-28-0

RL: BIOL (Biological study)
(of Chaetomium subaffine, ketoreductase in relation to)

L6 AMSWER 32 OF 180 CAPLUS CDPYRIGHT 1996 ACS
1993:649833 Document No. 119:249833 Indole derivatives which are
potent serotinin receptor antagonists. Nacor, John E. {Pfizer inc.,
USA). PCT Int. Appl. No 9311106 Al 930510, 65 pp. DESIGNATED
STATES: W: AU, 8R, CA, CS, DE, FI, HU, JP, KR, NO, PL, RU, UA, US;
RN: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NC, KL, SE.
(English). CODER: PIXOZO. APPLICATION: NO 92-US8306 921006.
PRIORITY: US 91-796744 911125.

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$$\sum_{j,j} \sum_{k,j} \left(J_{j+1} - \sum_{k-k,j} \delta_{j+1} - \sum_{k-k,j} \delta_{j+1} \right) = \sum_{j} \left(J_{j+1} - \sum_{k-k,j} \delta_{j+1} - \sum_{k-k,j} \delta_{j+1} \right)$$

A8 The title compds. I [R] = CH2CHZRRYRB, Q], Q2 (dotted line represents an optional double bond), etc.; R7, R8 = H, C1-Salkyl, aryl, C1-Salkylaryl, etc.; X = O, MH, S; Z = (un)substituted 5- or 6-membered heterocycleo; R7RB may form a 4- to 6-membered ring], which are potent serotonin (S-HI) receptor antagonists (no data), useful in the treatment of hypertension (no data), depression (no data), anxiety (no data), eating disorders (no data), etc., are prepd. Thus, (R)-S-amino-3-(pyrrolidin-2-ylmethyl)-1-H-indole was prepd. by hydrogenolysis of (R)-3-(8+ benzyloxycarbonylpyrrolidin-2-ylmethyl)-5-dibenzylamino-1H-indole. II 143321-8-8-8 143322-0-14 151272-88-7 risi272-89-89-151273-0-17 F151273-02-8-7 risi273-00-9 F151273-01-7 F151273-08-47 risi273-03-97 F151273-11-9 F151273-12-09 risi273-11-19 F151273-11-9 F151273-

(prepm. and serotomin receptor antagomist activity of) IT 143322-68-3 151273-10-8

13322-08-5 1512/3-10-0
RL: RCT (Reactant)
(reaction of, in prepn. of indole serotonin receptor antagonist)

L6 AMSWER 34 OF 180 CAPLUS COPYRIGHT 1996 ACS 1993:496155 Document No. 119:96155 The use of a proline ring as a conformational restraint in CCK-B receptor dipeptoids. Fincham, Christopher I.; Horwell, David C.; Ratcliffe, Giles S.; Rees, David C. (Parke-Davis Neurosci. Res. Cent., Cambridge, CB2 2QB, UK). Bloory, Ned. Chea. Lett., 2(5), 403-6 (English) 1992. CODEN: BMCLEB. ISSN: 0960-894X.

AB Examm. of mol. dynamics simulations and an x-ray crystal structure of a selective cholecystokinin B (CCK-B) receptor dipeptoid Trp deriv. led to the synthesis of conformationally restrained Pro deriv. 1. The CCK receptor binding of I is described. II 1491/0-00-3P

II 1491/0-00-3P

RI: RCT (Reactant); SPM (Synthetic preparation); PREP (Preparation) (prepn. and amidation of, with amino(phenyl)propanol)

II 1491/0-01-4P 1491/0-02-5P

RI: SPM (Synthetic preparation); PREP (Preparation) (prepn. and cholecystokinin B receptor binding affinity and selectivity of)

L6 ANSWER 35 OF 180 CAPLUS COPYRIGHT 1996 ACS
1993:253396 Document No. 118:253396 Immunomodulator and antitumor
TAN-1142 and its manufacture with Chaetonium. Tanida, Seiichi;
Isuboya, Shigetoshi; Harada, Setsuo (Takeda Chemical Industries,
Ltd., Japan). Jpn. Kokal Tokkyo Koho JP 04350693 A2 921214 Heisei,
6 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 91-136729

L6 AMSWER 37 OF 180 CAPLUS COPYRIGHT 1996 ACS
1993:168927 DOCUMENT NO. 118:168927 Synthesis of a conformationally
restricted analog of the anti-migraine drug sumatriptan. Macor,
John E.; Blank, David H.; Post, Romald J.; Ryan, Kevin (Cent. Res.
Div., Pfizer inc., Groton, CT, 06340, USA). Tetrahedron Lett.,
33(52), 8011-14 (English) 1992. CODEN: TELEAY. ISSN: 0040-4039.
0THER SOURCES: CASREACT 118:168927.

The synthesis of conformationally restricted sumatriptan analog [R = Me] (II) is described. The Mitsunobu coupling of hydroxypropene III (EEZ = benzyloxycarbonyl) with trifluoroacetanilide IV in the presence of Ph3P and DebO gave D7% intermediate V, which underwent an intramol. Heck reaction with Pd(DAC)2 in the presence of ELSM in DMF to give B1% protected analog [R = CB2). A bonus of the latter cyclization was the concomitant loss of the trifluoroacetyl group. I (R = CBZ) was reduced with LiAHM4 in refluxing TMF gave 65% II. III was prepd. From pyrrolidine VI in 4 steps, whereas IV was prepd. from pyrrolidine VI in 4 steps, whereas IV was prepd. from 4-02RC6H4CHZCl in 6 steps. 11 143321-74-RP

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antisigraine activity of)
17 143321-82-8P

HISEL-BC-BF
RL: RCI (Reactant); SPM (Synthetic preparation); PREP (Preparation)
(prepn. and hydride redn. of)

L6 ARSWER 36 OF 180 CAPLUS COPYRIGHT 1996 ACS

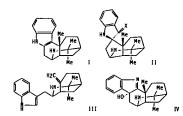
1993:251171 Document Mo. 118:251173 Use of HPLC diode array detection in the detection of nitrogen-containing mycatoxins and taxonomy of their producers in Penicillium. Frisvad, J. C. (Dep. Biotechnol., lechnical Univ. Demark, Lynghy, Den.). Prikl. Biokhia. Mikrobiol., 29(1), 19-26 (Russian) 1993. CODER: PENIAK. ISSM: 0555-1099.

AB TLC and RPLC were applied to analyze 4500 isolates from the subgenus Penicillium representing 45 species. Various systems for HPLC anal. of alkaloids are estd. The results of this estn. are presented together with a short report on taxonomy of the most widespread producers of alkaloids in Penicillium subgenus Penicillium.

IS 5033-03-03. Chaetoglobosin A
RL: FORM (Formation, nonpreparative)
{formation of, by Penicillium, taxonomy in relation to}

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L6 AMSWER 38 OF 180 CAPLUS COPYRIGHT 1996 ACS
1993:124851 Document No. 118:124851 Total synthesis of
{-}-alloaristoteline, {-}-serratoline, and (+)-aristotelone.
Stoermer, Doris; Heathcock, Clayton H. (Dep. Chem., Univ.
California, Berkeley, CA, 94720, USA). J. Org. Chem., 58(3), 564-8
[English) 1993. COBER: JOCEAH. ISSN: 0022-3263. OTHER SOURCES:
CASREACT 118:124851; CJACS-IMAGE; CJACS.



AB The Aristotelia alkaloids (-)-alloaristoteline (I), (-)-serratoline, and (+)-aristotelone (II, X = 0), were prepd. Thus, via the method of Stevens, (IS)-(-)-beta-pinene and 3-indolylacetonitrile were coupled by a lig(N03)2-mediated Ritter reaction followed by redn. of the resulting laine to give (+)-makomakine (III). An intramol. Friedel-Crafts reaction delivered (+)-aristoteline, which was oxidized by reaction with oxygen and platinum. Redn. of the intermediate hydroperoxide delivered alkaloid IV. Base-catalyzed skeletal rearrangement of IV followed by redn. with LiAliM4 to obtain a mixt. of secondary alcs., II (X = H,OH). Treatment of each of these alcs. with HCl in methanol afforded (-)-I.

II 79559-56-1P, (+)-Makomakine 146144-41-4P
RL: RCI (Reactant); SPM (Synthetic preparation); PREP (Preparation) (prepn. and intramol. Friedel-Crafts reaction of)

AMSWER 39 OF 180 CAPLUS COPYRIGHT 1996 ACS
3:124830 Document No. 118:124830 Synthesis of Aristotelia-type
alkaloids. Part XI. Total syntheses of (+)-sorelline and
(+)-aristolasene. Obbler, Markus; Beerli, Rene; Weissmahr, Walter
K.; Borschberg, Hans Juerg (Lab. Org. Chem. Eidgenoessischen Tech.
Hochsch., ElH Zentrum, Zurich, CH-809Z, Switz.). Tetrahedron:
Asymmetry, 3(1)1, 1411-20 (English) 1992. CODER: TASYES. ISSX:
0957-4166. OTHER SOURCES: CASREACT 118:124830.

111

Optically pure samples of the rare Aristotelia alkaloids (+)-sorelline (|) and (+)-aristolasene (||) were synthesized for the first time. Since natural (S)-perilla alc. served as one of the starting building blocks, these syntheses delineate the previously unknown abs. configurations of these metabolites. (-)-20-hydroxyhobartine (|||) was also prepd., which turned out to be different from a natural product that had been assigned this structure six years ago. IT 145801-31-6P

II 145801-31-6P
RL: RCI (Reactant); SPM (Synthetic preparation); PREP (Preparation) (prepn. and cyclocondensation of, with tri-Et orthoformate)
II 146234-97-1P, (-)-20-Hydroxynbobartine
RL: RCI (Reactant); SPM (Synthetic preparation); PREP (Preparation) (prepn. and oxidn. of)
II 73004-61-2P. (-)-Hobartine 145801-27-0P
145842-73-5P

RL: SPW (Synthetic preparation); PREP (Preparation) (prepn. of)
11 73004-62-3P

RL: PREP (Preparation); RCT (Reactant)

AMSWER 40 OF 180 CAPLUS COPYRIGHT 1996 ACS
3:120637 Document No. 118:120637 Blosynthetic study of
chaetoglobosin A: origins of the oxygen and hydrogen atoms, and
indirect evidence for a biological Diels-Alder reaction. Olkawa,
Hideaki; Murakami, Yasunobu; Ichihara, Akitami (Fac. Agric.,
Hokkaido Univ., Sapporo, 606, Japan). J. Chem. Soc., Perkin Trans.
1 (21), 295-9 (English) 1992. CODEM: JCPRB4. ISSM: 0300-922X.
OTHER SOURCES: CJRSC.

AB The biosynthetic origins of the 0 and H atoms in the mycotoxin chaetoglobosin A [i] were investigated by the incorporation of [1-32C,1802]- and [1-32C,182]-acetate and 1802 into 1 by using the chaetoglobosin-producing strain Chaetomium submaffine. Cytochrome P 450 explos. support a biogenetic pathway from prochaetoglobosin i [ii]. Attempts at direct conversion of 14C- or 13C-labeled II using whole cells were unsuccessful. Formation of the disatereoisomer of 11 in the retro-Diels-Alder reaction of II provided indirect evidence that the plausible precursor hexame is able to cyclize via [4 · 2]cycloaddn. in the biosynthesis of I.

II 133613-77-1, Prochaetoglobosin I
RL: BIOL (Biological study)
(chaetoglobosin A formation from, by Chaetomium submaffine.)

II 50335-03-0, Chaetoglobosin A
RL: FORM (Formation, nonpreparative)
(formation of, by Chaetomium submaffine, pathway of)

II 145511-72-4P
RL: SPM (Synthetic preparation); PREP (Preparation)
(prepn. of)

(prepn. of)

L6 ANSWER 39 OF 180 CAPLUS COPYRIGHT 1996 ACS (Continued)

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L6 AMSWER 41 OF 180 CAPLUS COPYRIGHT 1996 ACS
1993:120537 Document No. 118:120537 Useful approach to find the
plausible biosynthetic precursors of secondary metabolites using
p-450 inhibitors: postulated intermediates of chaetoplobosin A.
Olkawa, Hideaki; Murakami, Yasunobu; Ichihara, Akitami (Fac. Agric.,
Hokkaido Univ., Sapporo, D60, Japan). J. Chem. Soc., Perkin Trans.
1 (21), 2994-53 (English) 1992. CODEM: JCPR84. ISSN: 0300-922X.
OTHER SOURCES: CJRSC. GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLIKE PRINT *

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLIKE PRINT *

AB Treatment of Chaetonium subaffine with specific cytochrome P 450
Inhibitors resulted in a new generation of plausible precursors of
chaetoglobosin A (1), named prochaetoglobosins I (II), II (III), III
(IV), and IV (V), whose structures were detd. by spectroscopic anal.

RPLC anal. of mycelial ext. treated with the inhibitors suggest that
the accumulated metabolites are precursors in the biosynthesis of i.

Rew less oxidized analogs, prochaetoglobosin Illed and
isochaetoglobosin J, were also isolated, and their structures were
elucidated in a sinilar way.

II 146426-37-1 146426-38-2

RL: FORM (Formation, nonpreparative)
(formation of, by Chaetonium subaffine)

II 50335-03-0, Chaetoglobosin A

RL: FORM (Formation of, by Chaetonium subaffine, cytochrome P 450
inhibitor effect on)

II 50453-76-6 55945-75-0, Chaetoglobosin F

RL: FORM (Formation, nonpreparative)
(formation of, by Chaetonium subaffine, metyrapone effect on)

II 313613-77-1 1313613-78-2 133625-26-0

137604-97-8

RL: RIOL (Mishopotra) sturdy)

137604-97-8

7/004-97-8 RL: BiOL (Biological study) (of Chaetomium subaffine, as potential chaetoglobosin A precursor)

L6 AMSVER 42 OF 180 CAPLUS COPYRIGHT 1996 ACS
1993:59942 Document No. 118:59942 The alkaloid peduncularine:
corrected spectroscopic data and conformational analysis. Oragar,
Charles; Bick, 1. Ralph C. (Dep. Agric. Sci., Univ. Tasmania,
Hobart, 7005, Australia). Phytochemistry, 31(10), 3601-3 {English}
1992. CODEN: PYTCAS. ISSN: 0031-9422.

The reported spectroscopic data for the alkaloid peduncularine [I] from Aristotelia peduncularis have been revised and its preferred conformation has been investigated using MOE difference

spectroscopy. IT 34964-75-5, Peduncularine 145164-88-1,

Peduncularine monohydrochloride
Rt: RCT (Reactant)
(cor. spectroscopic data and conformational anal.)

t6 AMSMER 44 OF 180 CAPLUS COPYRIGHT 1996 ACS
1992:61D477 Document No. 117:21D477 Cytochalasans and PMA induce it-2
receptors on CD8+ lymphocytes. Grove, Deborah S.; Stanek, Elaine
M.; Bour, Barbara A.; Mastro, Andrea M. (Dep. Nol. Cell Biol.,
Pennsylvania State Univ., University Park, PA, 1680Z, USA). Exp.
Cell Res., 202(2), 303-9 (English) 1992. CODEN: ECREAL. ISSN:
0014-4827.
AB The cytochalasans, fungal metabolites that interact with actin, can

OO14-4827.

A The cytochalasans, fungal metabolites that interact with actin, can affect lymphocyte proliferation; high concns. inhibit lectin-induced proliferation and low concns. augnent it. The phorbol ester tumor promoter, PMA, alone is not altogenic for primary lymphocytes but enhances the activity of mitogenic lectins. Because the cytochalasans have been reported to increase intracellular Ca2+ and because PMA activates protein kinase C, lymphocytes were treated with PMA and cytochalasins B (Cy8) to det. If this combination would induce DMA synthesis. While this treatment by itself did not cause proliferation, lymphocytes cultured with PMA and Cy8 overnight, washed, and recultured with IL-2 proliferated to the same degree as lymphocytes stimulated with Con A. Three different cytochalasans, cytochalasin B, cytochalasin D, and chaetoglobosin C, all of which bind to cellular actin with different affinities and only one of which affects glucose transport, induced IL-2 receptors in combination with PMA. Flow cytometric anal. With an antibody to the IL-2 receptor .alpha. subunit confirmed the induction of receptors on CB8 cells. However, no IL-2 was produced after the exposure of lymphocytes to the combination of cytochalasans and PMA. Therefore, there was sufficient signal to induce IL-2 receptor expression but not to induce IL-2.

Il 50645-76-6, Chaetoglobosin C
RL: 810 (Stological study)
(phorbol ester and, interleukin-1 receptors induction by, on CB8 lamborate switchs.

(phorbol ester and, interleukin-1 receptors induction by, on CD8 lymphocyte subset)

08/466,644 Page 27

to AMSWER 43 OF 180 CAPLUS COPYRIGHT 1996 ACS
1992:653128 Document No. 117:251128 Synthesis and serotonergic pharmacology of the enantlomers of 3-[[4-methylpyrrolidin-2-yl]methyl]-5-methoy-1H-indole: discovery of stereogenic differentiation in the aminoethyl side chain of the neurotransmitter serotonin. Macor, John E.; Blake, James; Fox, Carol B.; Johnson, Celeste; Koe, B. Kenneth; Lebel, Lorraine A.; Morrone, Jean M.; Ryan, Kevin; Schmidt, Anne M.; et al. (Cent. Res. Div., Pfizer, Inc., Groton, CT, 06340, USA). J. Med. Chem., 35(23), 4503-5 [English) 1992. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CJACS-IMAGE; CJACS.

AB The synthesis and pharmacol. of both (R)- and (\$)-3-{Nnethylpyrolidin-2-ylnethyl)-5-methoxyindole {1} are presented.
Affinity for serotonergic receptors (\$-HIIA, 5-HIIB, 5-HIIC, 5-HIIO,
and 5-HI2) is significantly greater for (R)-1 (CP-10B, 509). The
potency and efficacy of (R)-I approx. equals that of the natural
substrate serotonin at 5-HIIA, 5-HIID, 5-HIIC, and 5-HI2 receptors.
The 3-(pyrnolidin-2-ylnethyl) group in (R)-I represents a
stereogenic, conformationally restricted minist of the
3-{2-aninoethyl} group in serotonin at 5-HIIA, 5-HIIC, 5-HIID, and
5-HI2 receptors.
II 143121-56-6P 143312-57-7P, CP-108,509
RL: SPM (Synthetic preparation); PREP (Preparation)
(prepn. and serotoninergic receptor binding by)

L6 ANSWER 45 OF 180 CAPLUS COPYRIGHT 1996 ACS
1992:571215 Document No. 117:171215 Preparation of
3-[heterocyclylnethyl] indoles as drugs. Macor, John Eugene; Wythes,
Martin Janes (Pfizer Inc., USA). PCT Int. Appl. wD 9205973 Al
920430, 82 pp. DESIGNATED STATES: W: AU, BG, BR, CA, CS, DE, FI,
HU, JP, KR, NO, PL, RO, SU, US; RN: AT, BE, BF, BJ, CF, CG, CH, C1,
CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, NR, NL, SE, SM, TD. TG.
(English). CODEN: PIXXOZ. APPLICATION: WD 91-US7194 911008. PRIORITY: US 90-597928 901015.

AB Title compds. I [n = 0-2; RZ = H, halo, cyano, R40 (wherein R4 = H, C1-6 alkyl, aryl), R6R5HCD(CHZ)m, R6R5HSDZ(CHZ)m (wherein R5, R6 = H, C1-6 alkyl, aryl), C1-3 alkylaryl, R5R6 = 4-6-membered ring), R8CORR7(CH2)m (Nehrein R7, R6 = H, C1-6 alkyl, aryl), C1-3 alkylaryl), R8(0)x5(CH2)m, R6R5HCDRR7(CH2)m, R9DZCHR7(CH2)m, R10(CH2)yCH1CH (wherein R9 = H, C1-6 alkyl, aryl, C1-3 alkylaryl, R10 = R6R5HCD, R6R5HSDQ, R8CORR7, R8SDZCHR7, etc.]; n = 0-3; x = 1, 2; y = 0-2; R3 = H, alkyll, useful as 5-H11 agonists, centrally acting anthypertensives, and vasodilators, no data) are prepd. (R)-3-[H-(Benzyloxycarbonyl)pyrrolidin-2-yl)carbonyl]-5-methoxy-1H-indole (prepn. given) was refluxed with L1AlH4 in THF to give (R)-1 (R2 = M6, R2 = Me, R = 1).

II 143322-64-9
R1: RCT (Reactant)
(hydrogenation of, in prepn. of serotonin agonist)
IT 143322-46-7P
R1: RCT (Reactant); SPM (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of indole deriv. drugs)
IT 143322-19-31 143321-06-06 143322-18-17P
143322-28-18-13322-03-9P 1433221-04-0P
143322-04-7P 143322-07-0P 143322-57-0P
143322-04-7P 143322-07-0P 143322-57-0P

RL: RCT (Reactant): SPM (Synthetic preparation): PREP (Preparation)

RL: RCI (Reactant); SPM (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of serotonin agonist)
II 143321-58-8P 143321-72-6P 143321-73-7P
RL: RCI (Reactant); SPM (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of serotonin agonist drug)
II 5275-05-8P 101832-07-9P 143321-54-4P
143321-55-5P 143321-56-6P 143321-57-7P
143321-63-9P 143321-60-2P 143321-61-3P
143321-62-4P 143321-73-5P 143321-74-8P
143321-75-2P 143321-76-0P 143321-77-1P
143321-78-2P 143322-05-8P 143322-05-9P
143322-10-5P 143322-11-6P 143322-12-7P

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ARSWER 45 OF 180 CAPLUS COPTRIGHT 1996 ACS
143322-13-87 143322-14-97 143322-15-07
143322-15-17 143322-17-27 143322-18-37
143322-15-17 143322-20-77 143322-21-87
143322-25-27 143322-20-77 143322-24-17
143322-25-27 143322-25-07 143322-27-47
143322-25-27 143322-25-07 143322-27-47
143322-31-07 143322-31-77 143322-31-27
143322-31-07 143322-31-77 143322-31-27
143322-31-07 143322-31-77 143322-35-65
143322-41-47 143322-35-77 143322-35-67
143322-43-67 143322-35-77 143322-45-67
143322-43-67 143322-55-77 143322-55-77
143322-50-37 143322-51-77 143322-55-57
143322-51-67 143322-52-77 143322-55-67
143322-51-67 143322-52-77 143322-55-67
143322-51-77 143322-55-77 143322-55-67
143322-51-77 143322-55-77 143322-55-67
143322-51-77 143322-55-77 143322-55-97
143322-51-77 143322-55-77 143322-55-97
143322-51-77 143322-55-77 143322-55-97
143322-51-77 143322-55-77 143322-55-97
143322-51-77 143323-67-77 143323-60-57
143322-51-77 143323-67-77 143323-60-57
                                                                                                                                                                                                                                                                                                                                                                                                                                        (Continued)
          143577-63-3P
                     Nasyr-as-IP

RE: BAC (Biological activity or effector, except adverse); SPH

(Synthetic preparation); TBU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses)

(prepa. of, as drug)
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L6 AMSWER 47 OF 180 CAPLUS COPYRIGHT 1996 ACS
1992:426178 Document No. 117:26178 Synthesis and Pictet-Spengler
reaction of 2-skatylpiperidine, -homopiperidine and -amino acids.
Hanama, W. S.; Hamouda, M.; Kandeel, E. N.; Afsah, E. M. (Fac.
Sci., Mansoura Univ., Mansoura, Egypt). Zhonghua Yaoxue Zazhi,
44(1), 25-9 (English) 1992. CODEM: CYHCEX. ISSN: 1016-1015.

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Schaidt reaction of 2-skatylcycloalkanones I (n = 1, 2) gave the corresponding cyclolactams, which were reduced to the 2-skatylpiperidine (II, n = 1) and -homopiperidine (II, n = 2) resp. Acid hydrolysis of the lactans gave skatylamino acids III (n = 3, 4). Carbolines IV and V were obtained via treatment of III and II with formalin.

IT 5275-05-8P
RL: RCI (Reactant); SPM (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, with formaldehyde)

IT 141647-89-4P

RL: RCI (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and redn. of)

L6 AMSWER 46 OF 180 CAPLUS COPYRIGHT 1996 ACS
1992:448167 Document No. 117:48167 The synthesis of optically pure
.beta.-cyclopiazonic acid, an indolic fungal metabolite. Holzapfel,
Cedric W.; Kruger, Friedrich W. H. (Dep. Chem. Blochem., Rand
Afrikaans Univ., Johannesburg, 2000, S. Afr.). Aust. J. Chem.,
45(1), 99-107 (English) 1992. CODEM: AJCHAS. ISSM: 0004-9425.

AB The chiral synthesis of the fungal metabolite .beta.-cyclopiazonic acid I is described. The key step involves the use of the tricarbonylchromium complex of an M-protected L-tryptophan Me ester as a substrate for the addn./oxidn. method of substitution of its indole ring system.

II 142287-59-09
RL: SPM (Synthetic preparation); PREP (Preparation) (prepn. of, as cyclopiazonic acid precursor)

L6 AMSWER 48 OF 180 CAPLUS COPYRIGHT 1996 ACS
1992:256027 Document No. 116:256027 Synthesis of cyclic ketomethylene
dipeptide derivatives. Dominguez, M. J.; Gonzalez-Muniz, R.;
Garcia-Lopez, M. I. (Inst. Quin. Med., Madrid, 28006, Spain).
Tetrahedron, 48[13], 2761-72 (English) 1992. CODEM: TETRAB. ISSN:
0040-4020. OTHER SOURCES: CASREACT 116:256027.

AB Me 6-aralky1-2,5-diketopiperidine-3-carboxylates I (R = Ph, 3-indoly); Rl = H) derived from L-Phe and L-Trp, and their 3-substituted analogs I (R = Ph, 3-indoly); Rl = CHZPh, COZCOZEt, Me) in which the 3-substituent is the side chain of Phe, Asp, and Ala have been synthesized. Cyclo[Trp,psi.(COCHZ)cly); II; R = 3-indoly], Rl = H) and cyclo[Phe.psi.(COCHZ)-.xi.-Phe] {II; R = Ph, Rl = CHZPh) have been also prepd.

II 315941-69-4 135941-72-9
Rl: RCT (Reactant) (deprotonation-alkylation reactions or sapon. of)

II 41672-21-1P 141672-24-4P
Rl: RCT (Reactant): SPM (Synthetic preparation); PREP (Preparation) (prepn. and decarboxylation of)

II 36959-62-5P 116959-36-6P 136959-66-9P
116969-73-BP
Rl: SPM (Synthetic preparation); PREP (Preparation)

RL: SPM (Synthetic preparation); PREP (Preparation)

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L6 ANSWER 49 OF 180 CAPLUS COPYRIGHT 1996 ACS
1992:235273 Document No. 116:235273 Contribution of synthetic chemistry for new bioscience. Possibility of biological Diels-Alder reaction. Ichihara, Akitani (Fac. Agric., Hokkaido Univ., Sapporo, 060, Japan). Tuki Gosei Kagaku Kyokaishi, 50(2), 96-111 (Japanese) 1992. CODEN: YGKAKE. ISSN: 0037-9980.

AB A review with 33 refs. on biosynthesis and chea. synthesis of solanapyrones, diplodiatoxin, betaenones, and chaetoglobosin A to study the possibility of biol. Diels-Alder reactions.

11 S0335-03-09. Chaetoglobosin A
RL: PREP (Preparation)
(biol. and chea. synthesis of, study of Diels-Alder reaction in)

L6 ARSWER 50 OF 180 CAPLUS COPYRIGHT 1996 ACS
1992:59142 Document No. 116:59142 Chemistry of indoles carrying basic functions. I. Transformation of hydroxyindolones into indoles. Moldval, istvan; Gacs-Baltz, Eszter; Szantay, Csaba (Cent. Res. Inst. Chem., Rung. Acad. Sci., Budapest, N-1525, Hung.). Recl. Trav. Chin. Pays-Bas, 110(11), 437-40 (English) 1991. CODEN: RICPAJ. ISSN: 0165-0513.

0H 111 HA 111

AB 3-Hydroxy-3-(pyridylaethyl)indolones ! (R = 2-, 4-pyridyl) have been reduced with NaBH4/McOR/tert-BuOH. After acidic treatment, 2- and 3-substituted indoles !! and !!! were obtained. The intermediates of the rearrangement were isolated and the effect of the pyridylaethyl groups on the rearrangement has also been established. !! 5580-44-9P RL: SPM (synthetic preparation); PREP (Preparation) (prepn. of)

21 SEA FILE=CAPLUS L6 AND (SHT) OR 5(1W)HT) OR MIGRAME/ OR H EADACKE/ OR VASODILATOR/ OR HYPERTEMSION OR ANTIHYPERTEMS IVE OR VASOCONSTRICTOR OR RAYMOND?)/AB,BI

=> d 1-21 cbib abs hitrn

L7 ARSWER 1 OF 21 CAPLUS COPYRIGHT 1996 ACS
1995:987946 Preparation of {(triazoly)}indoly1]methylpyrrolidines as
5-HT1-like agonists. Matassa, Victor Guilio;
Sternfeld, Francine; Street, Leslie Joseph (Merck Sharp and Dohne
Ltd., UK). PCT Intt. Appl. NO 9221167 A1 950810, 22 pp. 0ESIGARTED
SIATES: N: AM, AT, AU, BB, BG, BR, BY, CA, CH, CH, CZ, DE, DK, EE,
ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LY, MD,
MG, MR, MN, MX, RL, NO, NZ, PL, PT, RO, RU, 30, 3E, 51, 5K, 1J, IT,
UA, US; RD: A1, BE, BF, BJ, CF, CB, CH, CI, CH, DE, DX, ES, FR, GA,
GB, GR, [E, IT, LU, MK, ML, MR, RF, ML, PT, SE, SM, TD, CE,
(English). CDDEN: PIXXUZ. APPLICATION: WO 95-GB155 950124.
PRIDRITY: GB 94-2011 940202.
AB Title compds. ([: R = H, C1-6 alky1]), were prepot. Thus,
4-(1,2,4-triazol-4-y1)phenylnydravine and (25)-M-tertbutcaycarbonyl-3-{Dyrrolidin-2-y1]propanal were stirred in 4t aq.
MZSO4 at room temp.-reflux to give 34t 1 (R = H), isolated as the
oxalate. I showed pECSO .gtoreq.5.0 in a test of their ability to
mediate contraction of the saphenous vein of rabbits.

11 RR LIST MAY NOT BE COMPLETE: 15459-16-6
171752-92-4

L7 AMSWER 2 OF 21 CAPLUS COPYRIGHT 1996 ACS (Continued) (prepn. of triazole derivs. as serotoninergic agonists) [T 171182-32-4P

RCI (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of triazole derivs. as serotoninergic agonists)

ANSWER 2 OF 21 CAPLUS COPYRIGHT 1996 ACS
5:969448 Document No. 124:8823 Preparation of triazole derivatives
as serotoninergic agonists. Natassa, Victor Giulio, Sternfeld,
Francine; Street, Leslie Joseph (Merck Sharp and Obmac tid. UK),
PCT Int. Appl. NO 9521166 A1 950810, 49 pp. DESIGNATED STATES: W:
AM, AT, AU, BB, BG, BR, BY, CA, CH, CH, CZ, DE, DX, EE, ES, FI, GG,
EE, BU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LY, MD, MG, NM, MW,
MX, MI, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US; RW:
AT, BE, BF, BJ, CF, CG, CH, C1, CM, DE, DK, ES, FR, GA, GB, GR, IE,
TI, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TD. [English] CODEN:
PIXXOZ. APPLICATION: WO 95-GB134 950124. PRIORITY: GB 94-2016
940202.

AB Title compds. [1; R = H, hydrocarby], heterocycly], etc.; R1 = cycloalky], alkoxyalky], ary[(alky]), etc.; 1 of Y,Z = N and the other = [un]substituted (H; Z1 = bond, alkylene; Z2 = 0, S, (alky)]sinino; Z3 = N, (alkyl-substituted)(H; Z4 = alkylene; p = 0 or 1; q = 1-4; prq = 2-4], agonists of S-HT1-like receptors, were prepd. Thus, (ZR)-A-tertavolavcarbonylpyrrolidine-2-propanal was cyclocondensed with 4-(1,Z,4-triazol-4-yl)phenylhydrazine (prepn. each given) and the product condensed with PhOHO to give title compd. II. I had pECSO of .gtoreq.5.0 for contraction of rabbit saphenous vein.

[I J7102-20-09 J7102-21-19 J7102-22-2P
J7102-23-39 J7102-24-49 J7102-25-5P
J7102-26-69 J7102-27-79 J7102-28-8-P
J7102-29-99 J7102-30-2P J7102-28-8-P
J7102-29-99 J7102-30-2P J7102-28-8-P
J7102-29-99 J7102-30-2P J7102-31-3P
R. BBC (Biological activity or effector, except adverse); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

17 ANSWER 3 OF 21 CAPLUS COPYRIGHT 1996 ACS
1995:933846 Document No. 124:688 The in vivo pharmacological profile
of a 5-MTI receptor agonist, CP-12Z,288, a
selective inhibitor of neurogenic inflammation. Gupta, P.; Brown,
D.; Butler, P.; Ellis, P.; Grayson, K. L.; Land, G. C.; Macor, J.
E.; Robson, S. F.; Wythes, M. J.; Shepperson, M. B. (Departments of
Discovery Biology and Discovery Chemistry, Pfizer Central Research,
Sandwich, Kent, CIT3 9MJ, WK). Br. J. Pharmacol., 116(5), 2385-90
(English) 1995. CODEM: BJDCOM. ISSN: COOP-1188.
AB The ain of the present study was to investigate the in vivo
pharmacol. profile of CP-12Z,288, an indole-deriv. with a
conformationally restricted M-methylpyrrolidinyl basic side chain in
the C-3 position. This C-3 substituent structurally differentiates
CP-12Z,288 from the 5-HID receptor agonist sumatriptan, which
possesses an N.-Adnethylamionethyl group. When administered prior
to elec. situalation of the trigeninal ganglion, CP-12Z,288 (0.3-300
ng kg-1, i.v.) produced a dose-related inhibition of plasma protein,
extravasation in rat dura mater (ain. ED, MED, 3 ng kg-1 i.v., P <
0.05; maximal inhibition of plasma extravasation at 30 ng kg-1 i.v.,
P < 0.05). Thus, CP-12Z,288 is of the order of 104 fold
more potent than sumatriptan. At all doses tested, CP-12Z,288 did
not inhibit plasma protein extravasation measured in extracranial
tissues such as the lower lip, eyelid, and conjunctiva. In a sep.
series of studies in the anesthetized rat, CP-12Z,288 do.003-3 .mu, g
kg-1 i.v.) produced no change in either heart rate or mean arterial
blood pressure, thus demonstrating that dose of CP-12Z,288 which
inhibit plasma protein leakage in rat dura, are devoid of
hemodynanic effects. Following a 5 min period of elec. stimulation
of the trigeninal ganglion, a 20 min period of sustained
neurogenically-driven plasma extravasation, occurring in the absence
of elec. stimulation, was initiated. By administration of the
compd. 5 min after completing the phase of elec. stimulation
in the anesthetize

IT 14332-74-8, CP-122288
RL: ADV (Adverse effect, including toxicity); BAC (Biologica)
activity or effector, except adverse); TRU (Therapeutic use); BIOL

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AKSWER 3 OF 21 CAPLUS COPYRIGHT 1996 ACS (Continued)
(Biological study); USES (Uses)
(CP-12Z,288 pharmacol, profile as selective inhibitor of neurogenic inflammation in relation to migraine treatment) L7

L7 AMSMER 4 OF 21 CAPLUS COPYRIGHT 1996 ACS
1995:722570 DOCUMENT NO. 123:169499 Indole derivatives as 5HT1-11te agonists for use in migraine. Mythes, Martin James
(Pflzer Ltd., UK; Pflzer Inc.; Pflzer Research and Development
Company, N. V. S.A.). PCT Int. Appl. NO 9424127 AI 941027, 124 pp.
DESIGNATHOE STATES: N: AU, BR, CA, CH, CZ, FI, HU, JP, KR, NO, NZ,
PL, RU, US; RN: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,
KL, PT, SE. (English). CODER: PIXXOZ. APPLICATION: NO 94-EP1121
940411. PRIORITY: GB 93-8360 930422; GB 93-24433 931127.

A8 The title compds., 3-(pyrrolidiny)methyl)indoles and 3-(piperidiny)methyl)indoles i [R] = (2-pyrrolidiny)]methyl, 3-pyrrolidinyl, 4-piperidinyl, (3-piperidiny)]methyl; R2 = alkyl, oxoalkyl, etc.] were disclosed as selective 5-HTI -like agonists useful in the treatment of migraine, cluster headache, chronic paroxysnal hemicrania and headache assocd. with vascular disorders. A specifically claimed example compd. is 5-(3-hydroxybutyl)-3-[(R)-(1-methyl-2-pyrrolidinyl)methyl]-1-H-Indole (II).

II 143322-57-0
RL: RCT (Reactmat) (prepn. of (pyrrolidinyl)methyl)indoles 5-HTI RI: RCT (Reactant) (prepn. of (pyrrolidinylmethyl)indoles 5-HT1 -11ke agonists) IT 14322-46-7P 153435-71-3P 153435-73-5P 153525-33-0P 153525-50-9P 153525-51-0P 167303-50-6P 167303-51-7P 167303-54-0P 167303-51-3P 167303-56-2P 167303-63-1P 167303-64-2P 167303-66-4P 167303-67-5P

L7 AMSWER 5 OF 21 CAPLUS COPYRIGHT 1996 ACS
1995:549880 Document No. 122:306133 Effect of a 5HT1 receptor agonist, CP-122;268, on edema formation induced
by stimulation of the rat saphenous nerve. Kajekar, Radhika; Gupta,
Paul; Shepperson, Nicholas B.; Brain, Susan D. (Vascular Biology
Research Centre, King's College, London, SW3 6LX, UK). Br. J.
Pharmacol., 115(1), 1-2 (English) 1995. CODEN: BJPCBM. ISSN:
0007-1188.

Neurogenic edema formation to the control of th

Pharmacol., 115(1), 1-2 (English) 1995. CODEN: BJPCEM. ISSN:

AB Neurogenic edema formation in the rat hind paw skin induced by elec.

stimulation of the saphenous nerve and measured by extrawasation of

[1251]-albumin, was inhibited by the 5-HIIB receptor agonist,

CP-93,129, and the novel tryptamine analog, CP-122,288. Significant

inhibition of up to 66% of control was obsd. with CP-122,288 (c. times. 10-14 - 2 .times. 10-7 nol kg-1) and CP-93,129 (5 .times.

10-7-5 .times. 10-6 nol kg-1), with the min. ED for CP-122,288 being

about 107 fold less than that for GP-93,129. Edema formation

induced by the intradermal administration of exogenous mediators

(substance P and histamine) in rat dorsal skin was not inhibited by

CP-122,288 (2 .times. 10-10 mol kg-1). These results suggest that

CP-122,288 is a potent inhibitor of neurogenic inflamation in rat

skin and that the effect may be due to a prejunctional inhibition of

neuropeptide release.

IT 143321-74-8, CP-122288

RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(neurogenic edema inhibition by 5-HT1

receptor agonist CP-122288)

ANSWER 6 OF 21 CAPLUS COPYRIGHT 1996 ACS

- ARSWER 6 OF 21 CAPLUS COPYRIGHT 1996 ACS 95:465831 Document No. 122:255183 The pre- and postjunctional activity of CP-122,268, a conformationally restricted analog of sumatriptan. Beatite, David I.; Connor, Helen E. (Pharmacology II, Glaxo Research and Development Ltd., Park Road, Ware Herts, S612 ODP, UK). Eur. J. Pharmacol., 276(3), 271-5 (Epglish) 1995. CODEN: EUPHAZ. 155N: 0014-2999.

 The present study investigated the pre- and postjunctional activity of CP-122,288 (S-methyl-aninosulfonylmethyl-3-(M-methylpyrrolidin-ZR-yl-methyl)-1H -indole), an analog of the vascular S- HTI receptor agonist, sumatriptan. CP-122,288 inhibited neurogenic plasma protein extravasation in rat dura with a potency approx. 40 000-fold greater than sumatriptan (IDSO values of O.3 pmo)/kg and 13-9 nmo)/kg i.v. resp.). However, CP-122,288 was only approx. 2-fold more potent than sumatriptan at inhibiting neurogenically mediated contractions of the dog saphenous vein. CP-122,288 contracted the dog saphenous vein and basilar artery with a potency approx. 2-fold greater than that of sumatriptan at metry with a potency approx. 2-fold greater than that of sumatriptan. Both compds. possessed similar affinites at either human S-HID. alpha. or S-HID. beta. receptors. It is concluded that CP-122,288 exhibits a prejunctional selectivity in the meninges to inhibit dural plasma protein extravasation independent of S-HID.alpha. and S-HID.beta.

protein extravasation independent of 5-HTID.aipha. and 5-HTID.b receptor activation. II 143321-74-8, CP-122288 RI: BAC (Biological activity or effector, except adverse); BIOL (Biological study) (pre- and postjunctional activity of CP-122,288, a

conformationally restricted analog of sumatriptan)

- ANSWER 8 OF 21 CAPLUS COPYRIGHT 1996 ACS ANSWER 8 0- 21 CAPULES COPPRIENT 1996 ACS 1334225 Document No. 122:133200 5-arylindo'le derivatives and their use as serotonin (s-HTI) agonists. Macor, John Eugene (Pfizer Inc., USA). PCI Int. Appl. WO 941071 Al 940513, 72 pp. DESIGMATED STATES: W: AU, BR, CA, CZ, JP, KR, NO, WZ, PL, RU, US; RN: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, ML, PT, SE. (English). CODEN: PIXXOZ. APPLICATION: WO 93-US9790 931019. PRIORITY: US 92-970758 921102.
- A6 The title compds. I (R1 = aninoalky1; R2-R5 = H, alky1, ary1, etc.) were disclosed. I are useful in treating migraine and other disorders; they are useful psychotherapeutics and are potent serotoonin (5-HT1) agonists and herzodiazepine agonists and antagonists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paroxysmal hericrania and headache assocd. With vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. I are also useful as centrally acting anthypertensives and vasodilators. A specifically clained example compd. is 5-cyano-1-[[3-[1-[2-acthoxyethy1]-2-pyrrolidiny1]methy1]-5-indoly1]-1H-benzimidazole [ii]. II 160907-04-0P 160907-05-IP 160907-06-2P 160907-07-3P RL: SPM (Synthetic preparation); PREP (Preparation)

160907-08-4P

- 160906-47-8P 160906-48-9P 160906-49-1P 160906-50-3P 160906-51-4P 160906-54-7P 160906-55-8P 160906-81-0P 160906-82-1P 160906-83-2P 160906-84-3P 160906-83-4P 160906-83-5P 160906-97-6P 160906-95-6P

- 17 ARSWER 7 OF 21 CAPLUS COPYRIGHT 1996 ACS
 1995:421524 DOCUMENT NO. 122:205025 Suppression by the sumatriptan analog, CP-122;288 of c-fos immunoreactivity in trigesinal nucleus caudalis induced by intracisternal capsaicin. Cutrer, F. Michael; Schoenfeld, David, Limmorth, Volker; Panahian, Aratinan, Noskowitz, Michael A. (Harvard Med. Sch., Massachusetts Gen. Hosp., Boston, MA, 02114, USA). Br. J. Pharmacol., 114(5), 987-92 (English) 1995.
 CODER: BAPCBM. ISSR: OCO7-1188.

 AB The effects of an i.v. administered sumatriptan analog were examd. on c-fos-like immunoreactivity (c-fos-Ll), a marker of neuronal activation, evoked within trigesinal nucleus caudalis (TNC) and other brain stem regions 2 h after intracisternal injection of the irritant, capsaicin (O.1 nm, 0.1 mM), in pentobarbitone-anesthetized Hartley guinea pigs. C-fos-Ll was assessed in eighteen serial sections (50 .mu.a) using a polyclonal antiserum. A weighted av., reflecting total expression within laminal, 1100 of TNC was obtained from three representative levels (i.e., at -0.225 mm, -2.475 mm and -6.075 mm). Capsaicin caused significant labeling within laminal, 110, a region conto, axonal terminations of small unmyelinated C-fibers, as well as within the nucleus of the solitary tract, area postrema and medial reticular nucleus. A similar distribution of pos. cells was reported previously after intracisternal injection of other chen. irritants such as autologous blood or carrageenin. Pretreatment with a conformationally restricted sumatriptan analog (with some selectivity for 5-HIB and 5-HID receptor subtypes)
 CP-122,288, reduced the weighted av. by apprax. 50-680 (e < 0.05) in lamina I, 110 at 100 pool kg-1, i.v., but did not decrease cell no. within area postrema, nucleus of the solitary tract or aedical reticular nucleus. A similar pattern was reported previously following sumatriptan, dihydroregotantne or CP-93,129 administration after noxious meningeal stimulation. We conclude that modifications at the amino-Et side chain of sumatriptan dramati

- ANSWER 8 OF 21 CAPLUS COPYRIGHT 1996 ACS (Continued)
 RL: SPM (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for arylindole serotoninergic
 agonist) #gon1st)
 IT 160906-56-9P 160906-57-0P 160906-58-1P
 160906-59-2P 160906-60-5P 160906-61-6P
 160906-62-7P 160906-63-9P 160906-64-3P
 160906-65-0P 160906-66-1P 160906-68-3P
 160906-69-4P 160906-72-9P 160906-73-0P
 160906-74-1P 160906-72-2P 160906-73-0P
 160906-90-9P 160906-91-2P 160906-94-5P

- 160907-03-9P

- 160907-03-9P
 RL: SPR (Synthetic preparation); PREP (Preparation)
 (prepn. of, as serotoninergic agonist)
 II 151272-88-7
 RL: RCT (Reactant)
 (reactant for arylindole serotoninergic agonist)
- IT 160907-09-5
 RL: RCT (Reactant)
 (serotoninergic agonist)

AMSVER 9 OF 21 CAPLUS COPYRIGHT 1996 ACS
95:300051 Document No. 122:64328 Use of indole derivatives as
5-HTI antagonists. Macor, John Eugene (Pfizer
inc., USA). PCI int. Appl. NO 9425023 Al 941110, 22 pp. OESIGMATED
STATES: W: AU, BG, BR, CA, CH, CZ, FI, HU, JP, KR, NO, NZ, PL, RO,
RU, SK; RW: AT, BE, BF, BJ, CF, CG, CG, CI, CH, CD, CD, CD, ES, FR, GA,
GB, GR, IE, IT, UL, MC, NL, MR, NE, NL, PI, SE, SN, TD, TG,
[English). CODE: PIXXOZ. APPLICATION: NO 94-1879 94026.
PRIDRITY: US 93-33930 39047.
The present invention relates to pharmaceutical compns. contg.
(R)-5-(enthylazinosul fonylaethyl)-3-(fyrrolldin-2-ylaethyl)IN-indole or (R)-5-(aethylazinosul fonylaethyl)-3-(pyrrolldin-2-ylaethyl)IN-indole or the treatment of conditions such as
hyperteasion, depression, anxiety, eating disorders,
obesity, drug abuse, cluster headache, migraine, pain,
chronic parxysmal heaticranta, and beadache assocci. with

opesity, organize, cluster neadache, nigraine, pain, chronic paroxysmal heatcrania, and headache assocd. with vascular disorders. Il 18321-28-87 RL: RTI (Reactant): SPM (Synthetic preparation): PREP (Preparation) (indole derivs. for treatment of disorders from deficient serviconergic neurotransmission)

IT 141121-74-8P 141121-78-2P

13221-14-BF [43321-16-2F RE: SPA (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Indole derivs. for treatment of disorders from deficient serotonergic neurotransmission)

t7 AMSWER 11 OF 21 CAPLUS COPYRIGHT 1996 ACS
1994:457330 Document No. 121:57330 Preparation of indole derivatives
as 5-HT1-11ke agonists. Macor, John Eugene;
Wythes, Martin James (Pfizer Ltd., UK; Pfizer Inc.; Pfizer Research
and Development Co., N.Y./S.A.). PCI Int. Appl. W0 9321177 A1
931028, 70 pp. DESIGNATED SIATES: W: AU, BR, CA, CZ, FI, NU, JP,
KR, NO, NZ, PL, RU, SK, UA, US; RW: AT, BE, CH, DE, DK, ES, FR, GB,
GR, IE, 1T, LU, MC, NI, PT, SE. (English). COOEM: PIXXOC.

CH2- (CH2)k

I Title compds. I [R] = (C1-6 acyl)-C1-3 alkylene, (C1-6 alkyl-02C)-C1-3 alkylene, (H2MOC)-C1-3 alkylene, (H2MOC)-C1-3 alkylene, (H2MOCS)-C1-3 alkylene, (H0) C3-7 cycloalkyl, {aryl) C3-6 alkenyl, heteroaryl-C1-3 alkylene etc.; R2 = H, halo, F3C, KC, H2MOC, R0, etc.; k = 0-2] or a salt thereof, are prepd. 5-(2-Ethylsulfonylethyl)-3-(2R-pyrrodinylathyl)-H-Indole (prepn. given) was reacted with 2-pyridylathyl chloride to give I (R1 = 2-pyridylathyl, R2 = 2-ESOCCHECH2, k = 1). A stillar prepd. I (R1 = ECCOCH2, R2 = ESOCCHECH2, k = 1) evaluated for max. contraction on saphenous vein strip showed an ECSO = 3.1. times. 10-3M. 43322-48-9 p. 153452-13-7 153525-54-3P.

153525-55-4P

R1: SPR (Synthetic preparation); PREP (preps. of)
11 15345-72-4P 153435-73-5P 153525-10-1P 153525-11-2P 153525-11-2P 153525-11-2P 153525-11-2P 153525-11-2P 153525-15-2P 153525-15-2P 153525-15-2P 153525-15-2P 153525-15-2P 153525-15-2P 153525-15-2P 153525-2P 153525-3P 153525-3P

153525-50-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as SHT1 agonist)

ANSWER 10 OF 21 CAPLUS COPYRIGHT 1996 ACS L/ ANNER II OF 21 CAPLUS COPPRIGHT 1998 ACS
1994-483048 Document No. 121:83048 (Acylaano) indole derivatives as
5-HTL agonists. Nacor, John E. (Pfizer Inc.,
USA). PCI Int. Appl. NO 9321180 AI 931028, 32 pp. DESIGNATED
STATES: W: AU, BR, CA, CZ, DE, JP, KR, NO, KZ, PL, KU, SK, UA, US,
RY: AT, BE, CH, DE, OK, ES, FR, GB, GR, IE, IT, LU, MC, KL, PT, SE.
(English). CODEN: PIXOZO. APPLICATION: NO 93-US1807 930304.
PRIORITY: US 92-866382 920410.

AB The title compds. 1 [Rl = H, Cl-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, (un)substituted aryl, etc.; R2 = CF3, Cl-6 alkyl, aryl, Cl-3 alkylaryl, etc.; R6 = H, OH, alkoxy, aryloxy, acylamino, etc.; W, Y = amino acid residue; m = O, 1; n = O-2], which are 5-HT1 agonists (no data), useful in the treatment of hypertension (no data), etc.; are prepd. Thus, M-benzyloxycabonylajycine was coupled with 5-amino-3-(M-aethylpyrrolidin-2R-ylaethyl-1H-indole, producing 5-(M-benzyloxycarbonylgiycy)] amino-3-(M-aethylpyrrolidin-2R-ylaethyl-1H-indole in 74% yleid.

11 143321-58-8 143322-01-4 151272-89-8 154038-83-2 154038-83-2 154038-83-3 154038-85-4

154038-86-5 RL: RCT (Reactant) (prepn. as serotoninergic receptor agonist)
IT 143321-58-8 143322-01-4 151273-38-0
RL: RCT (Reactant)

reactant, in prepn. of (acylamino)indole serotoninergic receptor agonists)

L7 AMSWER 12 OF 21 CAPLUS COPYRIGHT 1996 ACS
1994:298634 Document No. 120:298634 Preparation of imidazole,
triazole, and tetrazole derivatives as 5-HTI
-like receptor agonists. Castro Pinetro, Jose Luis; Castro, Pineiro
Jose Luis; Guiblin, Alexander Richard; Matassa, Victor Giulio;
Reeve, Austin John; Sternfeld, Francine; Street, Leslie Joseph
(Merck Sharp and Domhe Ltd., UK). PCT Int. Appl. MO 9402477 A1
940203, 83 pp. DESIGNATED STATES: W: AU, CA, JP, US; RW: AT, BE,
CH, DE, OK, ES, FR, GB, GR, IE, IT, LU, MC, ML, PT, SE. (English).
CODEN: PIXXOZ. APPLICATION: MO 93-GB1495 930715. PRIORITY: GB
92-15721 920724; GB 92-25657 921208.

Title compds. [I; the broken circle represents two non-adjacent double bonds in any position in the five-nembered ring; Al = H, hydrocarbyl, heterocyclyl, halo, etc.; A2 = groups cited for Al, etc.; E > bond, alkylene; R = heteroaryl group (g; B = 0, S, NR3; Rl = 2-pyrrolidinoethyl, 3-aninocyclobutyl, 3-pyrrolidinylmethyl, etc.; U = N, CR2; R2,R3 = H, alkyl; 2-4 of V,W,X,Y,Z = N and the other(s) = C (sic)] were prepd. Thus, 1-(4-hydrazinophenyl)methyl-1,Z,4-triazole and 4-(1-azetidinyl)butanal di-Me acetal (prepn. each given) were subjected to Fischer indole synthesis conditions to give title compd. II. I had pECSO of .gtoreq.5.0 for mediation of rabbit saphenous vein contraction. IT 154748-38-6P

11 154748-38-0F Ri: RCT (Reactant); SPM (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of S-HTI -like receptor agonist) 1T 154748-36-4F 154748-37-5F 154748-39-7F

154804-04-19 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as 5-HT1-like receptor agonist)

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L7 AASMER 13 OF 21 CAPLUS COPYRIGHT 1996 ACS
1994:217271 Document No. 120:217273 Indole derivatives as 5HTI agonists. Brown, Alan Daniel; Dickinson, Roger Peter;
Wythes, Martin James (Pfizer Lid., UK; Pfizer Inc.; Pfizer Research
and Development Co., N.V./S.A.). PCI Int. Appl. NO 9321178 A1
931028, 146 pp. DESIGARIES SITALES: Nr. AU, RR, CA, CZ, FI, HU, JP,
KR, NO, NZ, PL, RU, SK, UA, US; RO: AT, BE, CH, DE, DK, ES, FR, GB,
GR, IE, II, LU, NC, NL, PT, SE. (English). COORE: PIXXOZ.
APPLICATION: NO 93-EP867 930408. PRIORITY: GB 92-8161 920414.

The title compds. I [R = {un}substituted Ph, pyridinyl, pyridialinyl, pyriaidinyl, pyrazinyl, furyl, thienyl; Rl = H, Cl-6 alkyl, C3-7 cycloalkyl, C5-7 cycloalkenyl, C3-6 alkenyl, C3-6 alkynyl, etc.; α = 1, 2], which are selective agonists at the 5-HTI -like subtype of the 5-hydroxtryptamine receptor, are prepd. Thus, I (R = 3-C6H\$520H\$12, Rl = Me, m = 1) was prepd. and demonstrated 50% max. contraction of dog-isolated saphenous vein strip at 3.78 X 10-9 M.

nax. contraction of dog-isolated saphel M.

11 IS343-62-9 IS343-63-0 IS343-64-1 IS343-62-1 IS343-66-3 IS343-66-1 IS343-67-4 IS343-65-2 IS343-66-3 IS343-67-4 IS343-70-9 IS343-71-0 IS343-72-1 IS343-73-2 IS343-77-6 IS343-78-7 IS343-78-8 IS343-78-6 IS343-80-1 IS343-80-6 IS343-80-1 IS343-80

L7 AMSWER 14 OF 21 CAPLUS COPYRIGHT 1995 ACS
1994:106995 Document No. 120:106995 Preparation of azole indole
derivatives as 5-MTI agonists. Macor, John E.;
Novakowski, Jolanta T. (Pfizer Inc., USA). PCT Int. Appl. WO
9318032 A1 930916, 38 pp. DESIGNATED STATES: W: AU, BR, CA, CZ,
DE, JP, KR, NO, NZ, PL, RU, SK, UA, US, RW: AT, BE, CH, DE, DK, ES,
FR, GB, GR, FE, TI, UJ, MC, NL, PT, SE. (English). CODEN: PIXXOZ.
APPLICATION: WO 93-US1667 930303. PRIORITY: US 92-846640 920305.

B Title compds. I (A = bond, Cl-4 alkyl, Cl-4 alkenyl; n = O-Z; Rl = H, Cl-6 alklaryl, aryl, Cl-3 alkylheteroaryl, R6(CHZ)a wherein R6 = MC, F3C, etc., n = 1-3; w, X, Y, Z = 0, S. M, C such that at least one of M, X, Y, Z is M; K2, R3, R4, R5 = H, Ol-6 alkyl, aryl, Cl-3 alkylaryl, Cl-3 alkylheteroaryl, halo, MC, F3C, OZN, etc.; one of R2B3, RR4A, RABS = 5-7-enebhered alkyl ring, 5-7-membered alkyl ring, 6-7-membered a

agonist] IT 152362-15-PP 152362-16-8P 152362-17-9P 152362-18-0P 152362-32-8P 152362-33-9P RL: SPM (Synthetic preparation); PREP (Preparation) (prepn. of, as 5-HTL agonist)

ANSWER 13 OF 21 CAPLUS COPYRIGHT 1996 ACS 153435-58-6 153435-71-3 153435-72-4 153435-73-5 (Continued) RL: RCT (Reactant) (prepn. as intermediate in prepn. of 5-HT1 receptor agonists)

L7 AMSWER 15 OF 21 CAPLUS COPYRIGHT 1996 ACS
1994:106761 Document Mo. 120:106761 Indo1e derivatives as serotonin
receptor (5-HT1) agonists. Macor, John E.;
Wythes, Martin J. (Pitzer Inc., USA). PCI Int. Appl. MO 3220073 A1
931014, 43 pp. DESIGNATED STATES: M: AU, BR, CA, CZ, DE, JP, KR,
MO, MZ, PL, RU, SK, UA, US; RM: AT, BE, CH, DE, DK, ES, FR, GB, GR,
IE, IT, LU, MC, ML, PT, SE. (English). CODEM: PIXXOZ.
APPLICATION: WO 93-US1967 930310. PRIORITY: US 92-864737 920407.

Three members of claimed indoles I [n = 0-2; m = 0-3; W = 7 types of oxo- and/or thioxo-substituted azolidinyl radicals (pyrrolidinyl, inidazolidinyl, oxazolidinyl, thiazolidinyl) with optional addnl. substitutents; R1 = H, (hydroxy)alkyl, alkenyl, alkynyl, aryl, alkylaryl (sic, e.g., CKZPh), alkylheteroaryl, certain heterofunctional-terminated alkyl; R2 = H, OR3, MICOR3; R3 = H, , alkyl, aryl, alkylaryl, potent 5-H1 agonists (no data), were prepd. for treatment of hypertension, depression, anxiety, obesity, algraine, etc. For example, Mitsunobu coupling of the alc. (R)-1-(N-benzyloxycarbonylpyrrolidin-2-yl)-3-hydroxypropene with 2-bromo-4-(2-oxo-1,3-oxazolidin-4(5)-ylaethyl)-1(trifluoroacetylamino)benene at the anide M (100% yield), followed by Pd(OAc)2-catalyzed cyclization to an indole (40%), hydrogenolytic deprotection (80%), and N-alkylation with MeOCHZCHZBr (36%), gave title compd. II. title compd. II. IT 143322-57-0P

TI 1832C-3--UP
RL: SPM (Synthetic preparation); PREP (Preparation)
(Pd-catalyzed coupling; prepn. of indole derivs. as 5HTI agonists)
IT 182105-14-1P 182305-19-6P 182305-20-9P

Il 152305-14-IP 152305-19-6P 152305-20-9P 152305-21-0P 152305-24-19 152305-25-4P RL: SPM (Synthetic preparation); PREP (Preparation) (Intermediate; prepn. of indole derivs. as 5-HI agonists)
II 152305-12-9P 152305-13-0P 152305-22-IP 152305-26-5P

RL: SPM (Synthetic preparation); PREP (Preparation) (prepn. of indole derivs. as 5-HT1 agonists)

- ANSWER 15 OF 21 CAPLUS COPYRIGHT 1996 ACS
- L7 ARSWER 16 OF 21 CAPLUS COPYRIGHT 1996 ACS

 1993:662341 Document No. 119:262341 Conformationally restricted sumatriptan analogs, CP-122,288 and CP-122,638 exhibit enhanced potency egainst neurogenic inflammation in dura mater. Lee, Won Suk; Moskowitz, Michael A. (Stroke Research Laboratory, Neurosurgery and Neurology Services, Massachusetts General Mospital, Harvard Medical School, 32 Fruit Street, Boston, MA, 02114, USA). Brain Res., 626(1-2), 303-5 (English) 1993. CODEM: BREEAP. ISSM: 0006-8993.

 AB CP-122,288 and CP-122,638 (analogs of sumatriptan in which the C3-aminocthyl side chain has been modified) blocked plasma protein extravasation response within dura mater following trigestinal ganglion stimulation. The threshold (1 and 0.1 pmol/kg), as was the dose at max. response. As with sumatriptan (7 nmol/kg), as was the dose at max. response. As with sumatriptan, substance P-induced plasma leakage was unaffected by either compd., and metergoline only partially (278) reversed the effects of CP-122,288. The data suggest the importance of modifications at the aminocthyl side chain to the actions of sumatriptan and possibly to the treatment of migraine beadache.

 11 143321-74-8, CP 122288 143321-78-2, CP 122638

 RI: 810. (Biological study)
 (neurogenic pachymeningitis-inhibition by, structure in relation to)

AMSMER 18 OF 21 CAPLUS COPYRIGHT 1996 ACS :168927 Document No. 118:168927 Synthesis of a conformationally restricted analog of the anti-migraine drug sumatriptan. Macor, John E.; Blank, David H.; Post, Ronald J.; Ryan, Kevin (Cent. Res. Div., Pfizer Inc., Groton, CT. 00340, USA). Tetrahedron Lett., 33(52), 8011-44 [English) 1992. CODEM: TELEAV. ISSN: 0040-4039. OTHER SOURCES: CASREACT 118:168927.

- The synthesis of conformationally restricted sumatriptan analog I (R = Me) (II) is described. The Mitsunobu coupling of hydroxypropene III (GBZ = benzyloxycarbonyl) with trifluoroacetanilide IV in the presence of Ph3P and DEAD gave δN_i intermediate V_i which underwent an intramol. Heck reaction with Pd(DAC)2 in the presence of EL3N in DMF to give δN_i protected analog I (R = CBZ). A bonus of the latter cyclization was the concomitant loss of the trifluoroacetyl group. I (R = CBZ) was reduced with tIAHA4 in refluxing IMF gave $\delta S \delta II$. III was prepd. from g_i fr
- IT 143321-74-8P
- RL: SPM (Synthetic preparation); PREP (Preparation)
 (prepn. and antialgraine activity of)
 IT 143321-82-8P

ANSWER 17 OF 21 CAPLUS COPYRIGHT 1996 ACS AMSWER 17 OF 21 CAPLUS COPPRIENT 1996 ACS

169833 Document No. 119:249833 Indole derivatives which are
potent serotinin receptor antagonists. Macor, John E. (Pfizer Inc.,
USA). PCT Int. Appl. No 9311105 A1 930610, 65 pp. DESIGNATED
STATES: W. AU, BR, CA, CS, OE, FT, HU, JP, KR, KO, PL, RU, HU, US;
RN: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, 1T, LU, MC, NL, SE.
(Engitsh). CODEN: PIXXOZ. APPLICATION: NO 92-US8306 921006.

DOINDITY. IN: 61-7867A4 011755. (English). CODEM: PIXXDZ. API PRIORITY: US 91-796744 911125.

1 Q1= -\(\sigma_{N-R^2}\) Q2= -\(\sigma_{N-R^2}\)

AB The title compds. I [R] = CHZCHZMR7R8, Q], Q2 (dotted line represents an optional double bond), etc.; R7,R3 = H, Cl-Galkyl, aryl, Cl-3alkylaryl, etc.; x O, NH, S; Z = (un)substituted 5- or 6-membered heterocycleo; R7R8 may form a 4- to 6-membered ring], which are potent serotonin (5-HTI) receptor antagonists (no data), useful in the treatment of hypertension (no data), etc., are prept. else in the treatment of hypertension (no data), etc., are prept. hybridgenodres (no data), obesity (no data), etc., are prept. hybridgenodysts of (R) 3-2-(M-benzyloxycarbonylpyrrolidin-2-ylmethyl)-5-dibenzylamino-1H-indole.

II 443321-59-8P 18322-01-4P 151272-89-7P 151273-08-8P 151273-01-1P 151273-02-8P 151273-09-8P 151273-01-9P 151273-03-1P 151273-19-1P 151273-19-0P 151273-19-1P 151273-14-8P 151273-19-1P 151273-14-8P 151273-14-8P 151273-3-9P 151273-3-20-0P 1512

RL: RCT (Reactant)
(reaction of, in prepm. of indole serotomin receptor antagomist)

L7 AMSWER 19 OF 21 CAPLUS COPYRIGHT 1996 ACS 1992:571215 Document No. 117:171215 Preparation of 3-(heterocyclylmethyl)indoles as drugs. Macor, John Eugene: Wythes, Martin James (Pfizer Inc., USA). PCI Int. Appl. NO 9205973 A1 920430, 82 pp. 0ESIGNATED STATES: W: AU, BG, BR, CA, CS, DE, FI, HU, JP, KR, ND, PL, ND, SU, US; RW: A1, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, MR, ML, SE, SM, TO, TG. (English). CODEN: PIXXDZ. APPLICATION: NO 91-US7194 911008. PRIORITY: US 90-597928 901015.

AB Title compds. I [n = 0-2; R2 = H, halo, cyano, R40 (wherein R4 = H, C1-6 alkyl, aryl), R6RSMCO(CH2)n, R6RSMSO2(CH2)n (wherein R5, R6 = H, C1-6 alkyl, aryl, C1-3 alkylaryl, R5R6 = 4-6-mesbered ring), R8CONRY(CH2)n (SSCM2)CH2(CH2)n, Wherein R7, R8 = H, C1-6 alkyl, aryl, C1-3 alkylaryl), R8(0)x5(CH2)n, R6RSMCONRY(CH2)n, R902CMRY(CH2)n, R902CMRY(CH2)NY(CH

(hydrogenation of, in prepn. of serotonin agonist) IT 143322-46-7P

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ARSWER 19 OF 21 CAPLUS COPYRIGHT 1996 ACS
143322-10-5P 143322-11-6P 143322-12-7P
143322-10-5P 143322-11-6P 143322-12-0P
143322-10-6-1P 143322-17-2P 143322-18-3P
143322-10-6-1P 143322-17-2P 143322-18-3P
143322-12-6P 143322-23-0P 143322-24-1P
143322-13-1P 143322-23-0P 143322-24-1P
143322-23-5P 143322-23-0P 143322-30-2P
143322-31-0P 143322-32-1P 143322-33-2P
143322-31-0P 143322-32-1P 143322-33-2P
143322-31-0P 143322-31-2P 143322-31-6-5P
143322-31-6-1P 143322-41-2P 143322-42-3P
143322-43-4P 143322-44-5P 143322-45-6P
143322-50-5P 143322-44-5P 143322-45-6P
143322-50-5P 143322-51-4P 143322-52-5P
143322-50-6P 143322-51-4P 143322-52-5P
143322-50-6P 143322-51-4P 143322-52-5P
143322-50-6P 143322-51-4P 143322-50-5P
143322-50-6P 143322-52-7P 143322-60-6P
143322-51-4P 143322-52-7P 143322-60-6P
143322-51-4P 143322-52-7P 143322-60-6P
143322-51-4P 143322-52-7P 143322-60-6P
143322-51-4P 143322-50-4P 143322-60-6P
143322-51-4P 143322-60-6P 143322-60-6P
                     #3977-03-37
RE: BAC (Biological activity or effector, except adverse); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)
                                                   (prepn. of, as drug)
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L7 AMSWER 21 OF 21 CAPLUS COPYRIGHT 1996 ACS
1974:59926 Document No. 80:39926 1,2,4a,5,6,8,9,14,14a,14bdecahydrobent[a]1ndolo[2,3-g]quinolizin-3(4h)-ones. Morrison, Glenn
C.; Shavel, John, Jr. (Warner-Lambert Co.). U.S. US 3723306 731113,
3 pp. (English). CODEM: USXXAM. APPLICATION: US 71-202570 711126.
AB Ine title compol. (1) was prepd. by cyclizing 1,2,3,4-tetrahydro-1-(3indoly]nethy]-6-methoxyisoquinoline with CM20 to give
5,6,8,9,14,14a-hexahydro-3-methoxybenz[a]1ndole[2,3-g]quinolizine,
followed by oxidn. to 1,5,6,8,9,14,14a,14boctahydrobenz[a]1ndolo[2,3-g]quinolizin-3(2H)-one and redn. of the
4,4a-double bond. I are antihypertensive.
II 13118-20-2 IT 13118-20-2 : RCT (Reactant) (reaction of, with formaldehyde)

2 SEA FILE=CAPREVIEWS L4

L8

L7 ANSWER 20 OF 21 CAPLUS COPYRIGHT 1996 ACS 1991:185267 Document No. 114:185267 Preparation of indoles and analogs as doparine agonists and antihypertensives. Brubaker, Abrau (Research Corp. Technologies, Inc., USA). US. US. US. 4973593 A 901127, 17 pp. (English). CODEH: USXXAM. APPLICATION: US 87-81428 870004

AB The title compds. I (Ar = aryl, heteroaryl, etc.; Rl = H, alkyl, cycloalkyl, OH, alkoxy, etc.; R, RZ = H, alkyl, aryl; RJ, R4 = H, alkyl, OH, alkoxy, amino, etc.; nl = 0 or 1; n2 = 0-3; Xl, XZ = 0, CH, S, etc.) were prepd. I possess peripheral dopasine agonist activity and are devoid of any central dopamine stimulating activity. I are inactive at dopamine rectpors in the brain. I are potent antihypertensives (no data). A mixt. of Et 6-[(4-(p-tolylsulfonyl))indolyl]methyl]-1,4-dioxa-7-azaspiro[4.5]decame-7-carboxylate and tiAlH4 in THF was refluxed for 12 h to give 6-(4-indolylmethyl-7-methyl-1,4-dioxa-7-azaspiro[4.5]decame, which exhibited IDSO of 0.095 mol/ky in the cat cardioaccelerator assay (CCA). (CCA is used for evaluation of dopamine agonist activity).

II 33332-68-0P
RL: RCI (Reactant): SPM (Synthetic preparation): PREP (Preparation)

1332:48-UP
RL: RCI (Reactant); SPM (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antihypertensive
and peripheral dopamine agonist) IT 133332-64-6P

13332-04-07 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as antihypertensive and peripheral dopamine agonist)

AMSWER 1 DF 2 CApreviews COPYRIGHT 1996 ACS
95:656780 CApreviews
The in vivo pharmacological profile of a 5-HII receptor agonist,
CP-122,288, a selective inhibitor of neurogenic inflammation
Gupta, P.; Brown, D.; Butler, P.; Ellis, P.; Grayson, K. L.; Land,
G.C.; Macor, J. E.; Robson, S. F.; Wythes, M. J.; Shepperson, N. B.
Departments of Discovery Biology and Discovery Chemistry, Pfizer
Central Research, Sandwich, Kent, C113 9NJ, UK
Br. J. Pharmacol. (1995), 116(5), 2385-90
CODEN: BJPCBM; ISSN: 0007-1188
Journal

DT LA AB

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CODEM: BJPCBW; ISSN: 0007-1188

Journal
English
The aim of the present study was to investigate the in vivo pharmacol. profile of CP-122,288, an indole-deriv. with a conformationally restricted M-methylpyrrolidinyl basic side chain in the C-3 position. This C-3 substituent structurally differentiates CP-122,288 from the S-HilD receptor agonist sumatriptan, which possesses an M,M-dimethylanthoethyl group. When administered prior to elec. stimulation of the trigeminal ganglion, CP-122,288 (0.3-300 mg kg-1, 1.v.) produced a dose-related inhibition of plasma protein, extravasation in rat dura mater (min. ED, MED, 3 mg kg-1 i.v., P < 0.05; maximal inhibition of plasma extravasation at 30 mg kg-1 i.v., P < 0.05; maximal inhibition of plasma extravasation at 30 mg kg-1 i.v., P < 0.05; maximal inhibition of plasma extravasation at 30 mg kg-1 i.v., P < 0.05; maximal inhibition and the more of the order of 104 fold more potent than sumatripan. At all doses levels (MED, 100 .mu.g kg-1 i.v., P < 0.05). Thus, CP-122,288 is of the order of 104 fold more potent than sumatripan. At all doses tested, CP-122,288 did not inhibit plasma protein extravasation measured in extracranial tissues such as the lower lip, eyelid, and conjunctiva. In a sepseries of studies in the anesthetized ray, CP-122,288 (0.000-3-mu.g kg-1 i.v.) produced no change in either heart rate or mean arterial blood pressure, thus demonstrating that doses of CP-122,288 which inhibit plasma protein leakage in rat dura, are devoid of hemodymanic effects. Following a 5 min period of sets. stimulation of the trigeninal ganglion, a 20 min period of sustained neurogenically-driven plasma extravasation, occurring in the absence of elec. stimulation, was initiated. By administration of the composition of plasma protein leakage which was consistent with its effects when administered prior to trigeninal ganglion stimulation. In the anesthetized dog, CP-122,288 and sumatriptan, at 1-300 mu.g kg-1, i.v., produced a dose-dependent redn. in carotid arterial blood flow and cor

Page · 38

L8 AMSWER 1 OF 2 CApreviews COPYRIGHT 1996 ACS (Continued)

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L8 AKSWER 2 OF 2 CApreviews COPYRIGHT 1996 ACS
AM 95:338604 CApreviews
11 Preparation of triazole derivatives as serotoninergic agonists
11 Matassa, Victor Giulio; Sternfeld, Francine; Street, Lesile Joseph
PA Merck Sharp and Dohme Ltd., UK
OPCI Int. Appl., 49 pp.
CODEN: PIXXOZ
210 09:521166 AI 950810
DS W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CM, CZ, DE, DX, EE, ES, FI,
GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LK, LT, LU, LY, MD, MG,
NM, NM, MX, ML, NO, NZ, PL, PT, RD, RU, SO, SE, SI, SK, TJ, TT,
UM, US
RM: KE, NM, SD, SZ, AT, BE, CH, DE, DX, ES, FR, GB, GR, IE, IT, LU,
MC, ML, PI, SE, BF, BJ, CF, CG, CI, CM, GA, GM, ML, MR, ME, SM,
TO, TG
AI NO 95-GB34 950124
PRAGI GB 94-2016 940202
OI Patent
LA English
Triazole derivs. represented by formula (11A), and salts and prodrug
thereof, wherein R1 represents C1-6 alkoxy(C1-6)alkyl, C2-6 alkenyl,
C2-6 alkynyl, C3-7 cycloalkyl, C3-7 cycloalkyl (C1-6)alkyl, aryl,
aryl(C2-6)alkynyl, C3-7 heterocycloalkyl(C1-6)alkyl, erceoaryl
(C1-6)alkynyl, C3-7 heterocycloalkyl(C1-6)alkyl, heteroaryl,
heteroaryl(C1-6)alkynyl, C3-7 heterocycloalkyl(C1-6)alkyl, heteroaryl,
heteroaryl(C2-6)alkynyl, c3-7 heterocycloalkyl(C1-6)alkyl,
aryl(C2-6)alkynyl, C3-7 heterocycloalkyl(C1-6)alkyl,
heteroaryl(C2-6)alkynyl, c3-7 heterocycloalkyl,
aryl(C2-6)alkynyl, c3-7 heterocycloalkyl,
aryl(C2-6)alkynyl, C3-7 heterocycloalkyl,
aryl(C2-6)alkynyl,
heteroaryl(C2-6)alkynyl,
heteroaryl(C2-6)alkynyl,
heteroaryl(C2-6)alkynyl,
heteroaryl(C2-6)alkynyl,
heteroaryl(C3-6)alkynyl,
heteroaryl(C3-6)alkynyl,
heteroaryl(C3-6)alkynyl,
heteroaryl(C3-6)alkynyl,
heteroaryl(C3-6)alkynyl,
heteroaryl(C3-6)alkynyl,
heteroaryl(C3-6)alkynyl,
heteroaryl(C3-6)alkynyl,
heteroaryl(C3-6)
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1 SEA FILE=REGISTRY 143321-74-8/RN

=> d sub can

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Page 40 08/466,644

L9 AMSWER 1 OF 1 REGISTRY COPYRIGHT 1996 ACS
RM 143321-74-8 REGISTRY
CM 1H-Indole-5-methanesulfonaside, M-methyl-3-{(1-methyl-2-pyrrolidinyl)methyl]-, (R)- (9CI) (CA INDEX MAME)
OTHER MAMES:
CM CP 122285
SS SIECROSEARCH
NF C16 H23 N3 02 S
SR CA
CL SIM Files: CA, CANCERLIT, CAPLUS, CAPREVIEWS, CASREACT,
CHEMINFORMEX, MEDLINE, TOXLIT
DES 1:R

Absolute stereochemistry.

1 REFERENCES IN FILE CAPREVIEWS 8 REFERENCES IN FILE CA (1967 TO DATE) 8 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:688

REFERENCE 2: 122:306133

REFERENCE 3: 122:256183

REFERENCE 4: 122:205025

REFERENCE 5: 122:64328

REFERENCE 6: 119:262341

REFERENCE 7: 118:168927

REFERENCE 8: 117:171215